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A heteroaryl-pyrazole compound of formula I or a pharmaceutically acceptable
     salt thereof is effective as a cannabinoid CB1 receptor inverse agonist or
     antagonist, which is useful for preventing or treating obesity and
     obesity-related metabolic disorders. The invention also provides a method
     for preparing the inventive heteroaryl-pyrazole compds. or a pharmaceutically
     acceptable salt thereof, a pharmaceutical composition containing same, and a method
     for preventing or treating obesity and obesity-related metabolic
     disorders. Compds. of formula I wherein R1 is H, (un)substituted C1-5
     alkyl, (un)substituted C2-4 alkenyl, (un)substituted C2-4 alkynyl, halo,
     etc.; R2 is H, NH2 and derivs., (un) substituted carbocycle,
     (un) substituted (hetero) aryl, (un) substituted heterocycle, etc.; R6, R7,
     R8, R9, R10, and R11 are independently H, halo, C1-3 alkyl, C1-3 alkoxy
     and CF3; X Y and Z are independently, =CR12, O, N=, NH and derivs., and S
     to form an aromatic heterocycle with Q and T; Q and T are independently C=,
     and N, with the proviso that both Q an T are not N at the same time; R12 \,
     are H, NH2 and derivative, (un) substituted carbocycle, (un) substituted
     (hetero)aryl, etc.; and their pharmaceutically acceptable salts thereof,
     are claimed. Example compound II was prepared by hydrazination of
     5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-methyl-1H-pyrazole-3-
     carboxylic acid with butanoic acid hydrazide; the resulting
     N-butanoyl-N'-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-methyl-1H-
     pyrazole-3-carbonyl]hydrazine underwent microwave-mediated cyclization to
     give compound II. All the invention compds. were evaluated for their CB1
     antagonistic activity (some data given).
     1016553-07-3P 1016553-08-4P 1016553-09-5P
     1016553-12-0P 1016553-13-1P 1016553-14-2P
     1016553-15-3P 1016553-16-4P 1016553-17-5P
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     1016553-31-3P 1016553-33-5P 1016553-34-6P
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     1016555-39-7P 1016555-41-1P 1016555-43-3P
     1016555-44-4P 1016555-45-5P 1016555-47-7P
     1016555-49-9P 1016555-51-3P 1016555-52-4P
     1016555-53-5P 1016555-55-7P 1016555-56-8P
     1016555-58-0P 1016557-46-2P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (drug candidate; preparation of heteroaryl-pyrazole derivs. as cannabinoid
        CB1 receptor antagonists useful in the treatment of obesity and
        obesity-related metabolic disorders)
RN
     1016553-07-3 CAPLUS
     Piperidine, 1-[[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-3-[5-(1,1-
CN
     dimethylethyl)-1,3,4-oxadiazol-2-yl]-1H-pyrazol-4-yl]methyl]- (CA INDEX
     NAME)
                            Cl
          CH<sub>2</sub>
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1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1-pyrrolidinylmethyl)-1H-pyrazol-3-yl]-5-(1,1-dimethylethyl)- (CA INDEX

McIntosh

NAME)

1016553-08-4 CAPLUS

RN 1016553-09-5 CAPLUS

CN Morpholine, 4-[[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-3-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

RN 1016553-12-0 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1,1-dimethylethyl)- (CA INDEX NAME)

RN 1016553-13-1 CAPLUS

CN 1,3,4-0xadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-imidazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1,1-dimethylethyl)- (CA INDEX NAME)

RN 1016553-14-2 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-pyrazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1,1-dimethylethyl)- (CA INDEX NAME)

RN 1016553-15-3 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-pyrrol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1,1-dimethylethyl)- (CA INDEX NAME)

RN 1016553-16-4 CAPLUS

CN 1H-Tetrazole, 1-[[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-3-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

1016553-17-5 CAPLUS RN

2H-Tetrazole, 2-[[5-(4-bromophenyl)-1-(2,4-dichlorophenyl)-3-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]-1H-pyrazol-4-yl]methyl]- (CA INDEX CNNAME)

RN

1016553-18-6 CAPLUS 1H-Tetrazole, 1-[[5-(4-bromophenyl)-1-(2,4-dichlorophenyl)-3-[5-(1,1dimethylethyl)-1,3,4-oxadiazol-2-yl]-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

1016553-19-7 CAPLUS

1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,3-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1,1-dimethylethyl)- (CA INDEX CNNAME)

$$\begin{array}{c|c} \text{Cl} & \text{N} & \text{N} & \text{N} \\ \text{Cl} & \text{N} & \text{N} & \text{N} \\ \text{Cl} & \text{N} & \text{N} & \text{N} \\ \end{array}$$

RN

1016553-20-0 CAPLUS 2,5-Pyrrolidinedione, 1-[[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-3-[5-CN(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

1016553-21-1 CAPLUS RN

2-Pyrrolidinone, 1-[[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-3-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]-1H-pyrazol-4-yl]methyl]- (CA INDEX CNNAME)

1016553-22-2 CAPLUS RN

2-Oxazolidinone, 3-[[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-3-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

RN 1016553-27-7 CAPLUS

CN 1,3,4-Oxadiazole, 2-[1-(4-chlorophenyl)cyclopropyl]-5-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-(CA INDEX NAME)

$$\begin{array}{c|c} C1 & N & N & N \\ \hline & N & N & C1 \\ \hline & CH_2 & N & \\ \hline & C1 & N & N \\ \hline & C1 & N & N \\ \hline \end{array}$$

RN 1016553-28-8 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(trifluoromethyl)cyclopropyl]-(CA INDEX NAME)

RN 1016553-29-9 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-cyclobutyl- (CA INDEX NAME)

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RN 1016553-30-2 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-cyclohexyl- (CA INDEX NAME)

RN 1016553-31-3 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1-phenylcyclopropyl)- (CA INDEX NAME)

RN 1016553-33-5 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(4-methylphenyl)cyclopropyl](CA INDEX NAME)

RN 1016553-34-6 CAPLUS

CN 1,3,4-0xadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(4-methoxyphenyl)cyclopropyl]-(CA INDEX NAME)

RN 1016553-35-7 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(2,4-dichlorophenyl)cyclopropyl]-(CA INDEX NAME)

RN 1016553-36-8 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(trifluoromethyl)cyclobutyl](CA INDEX NAME)

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RN

1016553-37-9 CAPLUS
1,3,4-Oxadiazole, 2-[1-(4-chlorophenyl)cyclobutyl]-5-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-(CA INDEX NAME) CN

PAGE 1-A

PAGE 2-A

RN

1016553-38-0 CAPLUS
1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1-phenylcyclopentyl)- (CA INDEX $_{\rm CN}$

RN 1016553-39-1 CAPLUS

CN 1,3,4-Oxadiazole, 2-[1-(4-chlorophenyl)cyclopentyl]-5-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-(CA INDEX NAME)

RN 1016553-40-4 CAPLUS

CN 1,3,4-Oxadiazole, 2-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1,1-dimethylethyl)- (CA INDEX NAME)

RN 1016553-41-5 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1-methylcyclopropyl)- (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1} & \text{N} & \text{N} \\ \text{N} & \text{C1} & \text{Me} \\ \\ \text{C1} & \text{N} & \text{N} \\ \end{array}$$

RN 1016553-42-6 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-bromophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(trifluoromethyl)cyclopropyl]-(CA INDEX NAME)

RN 1016553-43-7 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-bromophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(4-chlorophenyl)cyclopropyl]-(CA INDEX NAME)

RN 1016553-44-8 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-bromophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1,1-dimethylethyl)- (CA INDEX NAME)

RN 1016553-45-9 CAPLUS
CN 2H-Tetrazole, 5-[[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-3-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]-1H-pyrazol-4-yl]methyl]- (CA INDEX

RN 1016553-46-0 CAPLUS
CN 2H-Tetrazole, 5-[[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-3-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]-1H-pyrazol-4-yl]methyl]-2-methyl-(CA INDEX NAME)

RN 1016553-47-1 CAPLUS

CN 1H-Tetrazole, 5-[[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-3-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]-1H-pyrazol-4-yl]methyl]-1-methyl-(CA INDEX NAME)

RN 1016555-30-8 CAPLUS CN 1,3,4-Thiadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1,1-dimethylethyl)- (CA

INDEX NAME)

RN 1016555-32-0 CAPLUS CN 1,3,4-Thiadiazole, 2-[5-(4-bromophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1,1-dimethylethyl)- (CA INDEX

RN 1016555-34-2 CAPLUS
CN 1,3,4-Thiadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-cyclobutyl- (CA INDEX NAME)

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RN 1016555-36-4 CAPLUS

CN 1,3,4-Thiadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-cyclohexyl- (CA INDEX NAME)

RN 1016555-37-5 CAPLUS

CN 1,3,4-Thiadiazole, 2-[1-(4-chlorophenyl)cyclopropyl]-5-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-(CA INDEX NAME)

RN 1016555-39-7 CAPLUS

CN 1,3,4-Thiadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1-phenylcyclopropyl)- (CA INDEX NAME)

RN

1016555-41-1 CAPLUS
1,3,4-Thiadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(4-methylphenyl)cyclopropyl]- (CA INDEX NAME) CN

RN

1016555-43-3 CAPLUS 1,3,4-Thiadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-CN1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(4-methoxyphenyl)cyclopropyl]- (CA INDEX NAME)

1016555-44-4 CAPLUS RN

1,3,4-Thiadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(2,4-dichlorophenyl)cyclopropyl]- (CA INDEX NAME) CN

$$\begin{array}{c|c} C1 & N & N & N \\ \hline & N & N & C1 \\ \hline & CH_2 & & C1 \\ \hline & N & & C1 \\ \hline & & N & & C1 \\ \hline \end{array}$$

1016555-45-5 CAPLUS RN $1, 3, 4-Thiadiazole, \ 2-[5-(4-chlorophenyl)-1-(2, 4-dichlorophenyl)-4-(1H-dichlorophenyl)-1-(2, 4-dichlorophenyl)-1-(2, 4-dichlorophenyl)-1-(1H-dichlor$ CN1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(trifluoromethyl)cyclopropyl]- (CA INDEX NAME)

1016555-47-7 CAPLUS RN 1,3,4-Thiadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(trifluoromethyl)cyclobutyl]- (CA INDEX NAME)

PAGE 1-A

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1016555-49-9 CAPLUS RN 1,3,4-Thiadiazole, 2-[1-(4-chlorophenyl)cyclobutyl]-5-[5-(4-chlorophenyl)-CN1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-

(CA INDEX NAME)

PAGE 1-A

PAGE 2-A



1016555-51-3 CAPLUS RNCN

1,3,4-Thiadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1-phenylcyclopentyl)- (CA INDEX NAME)

1016555-52-4 CAPLUS RN

1,3,4-Thiadiazole, 2-[1-(4-chlorophenyl)cyclopentyl]-5-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-(CA INDEX NAME)

RN 1016555-53-5 CAPLUS

CN 1,3,4-Thiadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1-methylcyclopropyl)- (CA INDEX NAME)

RN 1016555-55-7 CAPLUS

CN 1,3,4-Thiadiazole, 2-[5-(4-bromophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(trifluoromethyl)cyclopropyl]-(CA INDEX NAME)

RN 1016555-56-8 CAPLUS

CN 1,3,4-Thiadiazole, 2-[5-(4-bromophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(4-chlorophenyl)cyclopropyl](CA INDEX NAME)

RN 1016555-58-0 CAPLUS

CN 1,3,4-Thiadiazole, 2-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1,1-dimethylethyl)- (CA INDEX NAME)

RN 1016557-46-2 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-bromophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1-methylcyclopropyl)- (CA INDEX NAME)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 2 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2008:416137 CAPLUS
- DN 148:426884
- TI Heteroaryl-pyrazole derivatives as cannabinoid CB1 receptor antagonists and their preparation, pharmaceutical compositions and use in the treatment of obesity and obesity-related metabolic disorders
- IN Lee, Jinhwa; Kim, Jeong Min; Chang, Chong-Hwan Jonathan; Lee, Suk Ho; Seo, Hee Jeong; Kang, Suk Youn; Song, Kwang-Seop; Kim, Jong Yup; Kim, Min-Ah; Lee, Sung-Han; Ahn, Kwang-Woo; Jung, Myung Eun; Park, Ji-Hyun
- PA Green Cross Corporation, S. Korea
- SO U.S. Pat. Appl. Publ., 124pp., Cont.-in-part of U.S. Ser. No. 541,269. CODEN: USXXCO
- DT Patent

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FAN.CNT 2
     PATENT NO.
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                                                                   DATE
                                            APPLICATION NO.
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     US 20080081812
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                                20080403
                                            US 2007-863501
                                                                    20070928
                                20080403
                                            US 2006-541269
                                                                    20060929
    US 20080081815
                        A1
PRAI US 2006-541269
                         A2
                                20060929
OS
    MARPAT 148:426884
GΙ
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
    A heteroaryl-pyrazole compound of formula I or a pharmaceutically acceptable
     salt thereof is effective as a cannabinoid CB1 receptor inverse agonist or
     antagonist, which is useful for preventing or treating obesity and
     obesity-related metabolic disorders. The invention also provides a method
     for preparing the inventive heteroaryl-pyrazole compds. or a pharmaceutically
     acceptable salt thereof, a pharmaceutical composition containing same, and a method
     for preventing or treating obesity and obesity-related metabolic
     disorders. Compds. of formula I wherein R1 is H, (un)substituted C1-5
     alkyl, (un)substituted C2-4 alkenyl, (un)substituted C2-4 alkynyl, halo,
     etc.; R2 is H, NH2 and derivs., (un) substituted carbocycle,
     (un) substituted (hetero) aryl, (un) substituted heterocycle, etc.; R6, R7,
     R8, R9, R10, and R11 are independently H, halo, C1-3 alkyl, C1-3 alkoxy
     and CF3; X Y and Z are independently, =CR12, O, N=, NH and derivs., and S
     to form an aromatic heterocycle with Q and T; Q and T are independently C=,
     and N, with the proviso that both Q an T are not N at the same time; R12
     are H, NH2 and derivative, (un) substituted carbocycle, (un) substituted
     (hetero)aryl, etc.; and their pharmaceutically acceptable salts thereof,
     are claimed. Example compound II was prepared by hydrazination of
     5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-methyl-1H-pyrazole-3-
     carboxylic acid with butanoic acid hydrazide; the resulting
     N-butanoyl-N'-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-methyl-1H-
     pyrazole-3-carbonyl]hydrazine underwent microwave-mediated cyclization to
     give compound II. All the invention compds. were evaluated for their CB1
    antagonistic activity (some data given).
1016553-07-3P 1016553-08-4P 1016553-09-5P
     1016553-12-0P 1016553-13-1P 1016553-14-2P
     1016553-15-3P 1016553-16-4P 1016553-17-5P
     1016553-18-6P 1016553-19-7P 1016553-20-0P
     1016553-21-1P 1016553-22-2P 1016553-27-7P
     1016553-28-8P 1016553-29-9P 1016553-30-2P
     1016553-31-3P 1016553-33-5P 1016553-34-6P
     1016553-35-7P 1016553-36-8P 1016553-37-9P
     1016553-38-0P 1016553-39-1P 1016553-40-4P
     1016553-41-5P 1016553-42-6P 1016553-43-7P
     1016553-44-8P 1016553-45-9P 1016553-46-0P
     1016553-47-1P 1016555-30-8P 1016555-32-0P
     1016555-34-2P 1016555-36-4P 1016555-37-5P
     1016555-39-7P 1016555-41-1P 1016555-43-3P
     1016555-44-4P 1016555-45-5P 1016555-47-7P
     1016555-49-9P 1016555-51-3P 1016555-52-4P
     1016555-53-5P 1016555-55-7P 1016555-56-8P
     1016555-58-0P 1016557-46-2P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
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(drug candidate; preparation of heteroaryl-pyrazole derivs. as cannabinoid

CB1 receptor antagonists useful in the treatment of obesity and

Piperidine, 1-[[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-3-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]-1H-pyrazol-4-yl]methyl]- (CA INDEX

obesity-related metabolic disorders)

1016553-07-3 CAPLUS

NAME)

RN

RN

1016553-08-4 CAPLUS 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1-CNpyrrolidinylmethyl)-1H-pyrazol-3-yl]-5-(1,1-dimethylethyl)- (CA INDEX NAME)

RN

1016553-09-5 CAPLUS Morpholine, 4-[[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-3-[5-(1,1-CNdimethylethyl)-1,3,4-oxadiazol-2-yl]-1H-pyrazol-4-yl]methyl]- (CA INDEX

1016553-12-0 CAPLUS RN

1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1,1-dimethylethyl)- (CA INDEX NAME)

RN 1016553-13-1 CAPLUS
CN 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-imidazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1,1-dimethylethyl)- (CA INDEX NAME)

RN 1016553-14-2 CAPLUS
CN 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-pyrazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1,1-dimethylethyl)- (CA INDEX NAME)

RN 1016553-15-3 CAPLUS
CN 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-pyrrol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1,1-dimethylethyl)- (CA INDEX NAME)

RN 1016553-16-4 CAPLUS
CN 1H-Tetrazole, 1-[[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-3-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]-1H-pyrazol-4-yl]methyl]- (CA INDEX

RN 1016553-17-5 CAPLUS
CN 2H-Tetrazole, 2-[[5-(4-bromophenyl)-1-(2,4-dichlorophenyl)-3-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]-1H-pyrazol-4-yl]methyl]- (CA INDEX

RN 1016553-18-6 CAPLUS
CN 1H-Tetrazole, 1-[[5-(4-bromophenyl)-1-(2,4-dichlorophenyl)-3-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

RN 1016553-19-7 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,3-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1,1-dimethylethyl)- (CA INDEX NAME)

RN 1016553-20-0 CAPLUS

CN 2,5-Pyrrolidinedione, 1-[[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-3-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

RN 1016553-21-1 CAPLUS

CN 2-Pyrrolidinone, 1-[[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-3-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

RN 1016553-22-2 CAPLUS

CN 2-Oxazolidinone, 3-[[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-3-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

RN 1016553-27-7 CAPLUS

CN 1,3,4-Oxadiazole, 2-[1-(4-chlorophenyl)cyclopropyl]-5-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-(CA INDEX NAME)

RN 1016553-28-8 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(trifluoromethyl)cyclopropyl]-(CA INDEX NAME)

RN 1016553-29-9 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-cyclobutyl- (CA INDEX NAME)

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RN 1016553-30-2 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-cyclohexyl- (CA INDEX NAME)

RN 1016553-31-3 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1-phenylcyclopropyl)- (CA INDEX NAME)

RN 1016553-33-5 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(4-methylphenyl)cyclopropyl](CA INDEX NAME)

$$\begin{array}{c|c} C1 & N & N & N \\ \hline & N & N & N \\ \hline & CH_2 & N & N \\ \hline & N & N & N \\ \hline & CH_2 & N & N \\ \hline & N & N & N$$

RN 1016553-34-6 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(4-methoxyphenyl)cyclopropyl]-(CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & N & & N \\ \hline & N & & & N & & \\ \hline & CH_2 & & & & \\ \hline & N & & & & \\ \hline & N & & & & \\ \hline & C1 & & & & \\ \hline & N & & & & \\ \hline \end{array}$$

RN 1016553-35-7 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(2,4-dichlorophenyl)cyclopropyl]-(CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$$

RN 1016553-36-8 CAPLUS

CN 1,3,4-0xadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(trifluoromethyl)cyclobutyl](CA INDEX NAME)

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RN 1016553-37-9 CAPLUS

CN 1,3,4-Oxadiazole, 2-[1-(4-chlorophenyl)cyclobutyl]-5-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-(CA INDEX NAME)

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RN 1016553-38-0 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1-phenylcyclopentyl)- (CA INDEX NAME)

RN 1016553-39-1 CAPLUS

CN 1,3,4-Oxadiazole, 2-[1-(4-chlorophenyl)cyclopentyl]-5-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-(CA INDEX NAME)

RN 1016553-40-4 CAPLUS

CN 1,3,4-Oxadiazole, 2-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1,1-dimethylethyl)- (CA INDEX NAME)

RN 1016553-41-5 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1-methylcyclopropyl)- (CA INDEX NAME)

RN 1016553-42-6 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-bromophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(trifluoromethyl)cyclopropyl]-(CA INDEX NAME)

RN 1016553-43-7 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-bromophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(4-chlorophenyl)cyclopropyl]-(CA INDEX NAME)

RN 1016553-44-8 CAPLUS

CN 1,3,4-Oxadiazole, 2-[5-(4-bromophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1,1-dimethylethyl)- (CA INDEX NAME)

RN 1016553-45-9 CAPLUS
CN 2H-Tetrazole, 5-[[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-3-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]-1H-pyrazol-4-yl]methyl]- (CA INDEX

RN 1016553-46-0 CAPLUS
CN 2H-Tetrazole, 5-[[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-3-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]-1H-pyrazol-4-yl]methyl]-2-methyl-(CA INDEX NAME)

RN 1016553-47-1 CAPLUS

CN 1H-Tetrazole, 5-[[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-3-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]-1H-pyrazol-4-yl]methyl]-1-methyl-(CA INDEX NAME)

RN 1016555-30-8 CAPLUS CN 1,3,4-Thiadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1,1-dimethylethyl)- (CA

INDEX NAME)

RN 1016555-32-0 CAPLUS CN 1,3,4-Thiadiazole, 2-[5-(4-bromophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1,1-dimethylethyl)- (CA INDEX

RN 1016555-34-2 CAPLUS
CN 1,3,4-Thiadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-cyclobutyl- (CA INDEX NAME)

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RN 1016555-36-4 CAPLUS

CN 1,3,4-Thiadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-cyclohexyl- (CA INDEX NAME)

RN 1016555-37-5 CAPLUS

CN 1,3,4-Thiadiazole, 2-[1-(4-chlorophenyl)cyclopropyl]-5-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-(CA INDEX NAME)

RN 1016555-39-7 CAPLUS

CN 1,3,4-Thiadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1-phenylcyclopropyl)- (CA INDEX NAME)

1016555-41-1 CAPLUS
1,3,4-Thiadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(4-methylphenyl)cyclopropyl]- (CA INDEX NAME) CN

RN

1016555-43-3 CAPLUS 1,3,4-Thiadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-CN1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(4-methoxyphenyl)cyclopropyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1} & \text{N} & \text{N} \\ \text{N} & \text{S} & \text{OMe} \\ \hline \\ \text{C1} & \text{N} & \text{N} \\ \end{array}$$

1016555-44-4 CAPLUS RN

1,3,4-Thiadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(2,4-dichlorophenyl)cyclopropyl]- (CA INDEX NAME) CN

$$\begin{array}{c|c} C1 & N & N & N \\ \hline & N & N & C1 \\ \hline & CH_2 & & C1 \\ \hline & N & & C1 \\ \hline & & N & & C1 \\ \hline \end{array}$$

1016555-45-5 CAPLUS RN $1, 3, 4-Thiadiazole, \ 2-[5-(4-chlorophenyl)-1-(2, 4-dichlorophenyl)-4-(1H-dichlorophenyl)-1-(2, 4-dichlorophenyl)-1-(2, 4-dichlorophenyl)-1-(1H-dichlor$ CN1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(trifluoromethyl)cyclopropyl]- (CA INDEX NAME)

1016555-47-7 CAPLUS RN 1,3,4-Thiadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(trifluoromethyl)cyclobutyl]- (CA INDEX NAME)

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1016555-49-9 CAPLUS RN 1,3,4-Thiadiazole, 2-[1-(4-chlorophenyl)cyclobutyl]-5-[5-(4-chlorophenyl)-CN1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-

(CA INDEX NAME)

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RN 1016555-51-3 CAPLUS CN 1,3,4-Thiadiazole, 2-

1,3,4-Thiadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1-phenylcyclopentyl)- (CA INDEX NAME)

RN 1016555-52-4 CAPLUS

CN 1,3,4-Thiadiazole, 2-[1-(4-chlorophenyl)cyclopentyl]-5-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl](CA INDEX NAME)

RN 1016555-53-5 CAPLUS

CN 1,3,4-Thiadiazole, 2-[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1-methylcyclopropyl)- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & N & N \\ N & S & N \\ C1 & N & N \\ \end{array}$$

RN 1016555-55-7 CAPLUS

CN 1,3,4-Thiadiazole, 2-[5-(4-bromophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(trifluoromethyl)cyclopropyl]-(CA INDEX NAME)

RN 1016555-56-8 CAPLUS

CN 1,3,4-Thiadiazole, 2-[5-(4-bromophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4-triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-[1-(4-chlorophenyl)cyclopropyl](CA INDEX NAME)

1016555-58-0 CAPLUS RN

1,3,4-Thiadiazole, 2-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-(1H-1,2,4triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1,1-dimethylethyl)- (CA INDEX NAME)

1016557-46-2 CAPLUS RN

1,3,4-Oxadiazole, 2-[5-(4-bromophenyl)-1-(2,4-dichlorophenyl)-4-(1H-1,2,4triazol-1-ylmethyl)-1H-pyrazol-3-yl]-5-(1-methylcyclopropyl)- (CA INDEX NAME)

- ANSWER 3 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN L4
- 2007:1177863 CAPLUS AN
- DN 147:469247
- Preparation of quinolones derivatives useful as inducible nitric oxide ΤI synthase inhibitors
- INRoppe, Jeffrey R.; Bonnefous, Celine; Smith, Nicholas D.; Lindstrom, Andrew K.; Noble, Stewart A.; Hassig, Christian A.; Payne, Joseph E.; Zhuang, Hui; Chen, Xiaohong; Duron, Sergio G.
- PΑ
- Kalypsys, Inc., USA PCT Int. Appl., 238pp. SO
- CODEN: PIXXD2
- DTPatent
- LΑ English
- FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

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                                     _____
                                                   ______
     WO 2007117778
                              A2
                                     20071018
                                                   WO 2007-US62769
                                                                              20070223
     WO 2007117778
                              А3
                                     20080207
          \text{W:}\quad \text{AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,}
               CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
               GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
               \mathsf{KP},\ \mathsf{KR},\ \mathsf{KZ},\ \mathsf{LA},\ \mathsf{LC},\ \mathsf{LK},\ \mathsf{LR},\ \mathsf{LS},\ \mathsf{LT},\ \mathsf{LU},\ \mathsf{LV},\ \mathsf{LY},\ \mathsf{MA},\ \mathsf{MD},\ \mathsf{MG},\ \mathsf{MK},
               MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
               RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
               TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
          RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
               IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
               CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
               GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
               KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
     US 20080139558
                              A1
                                     20080612
                                                   US 2007-678572
                                                                              20070223
PRAI US 2006-776561P
                              Р
                                     20060224
     US 2006-848696P
                              Ρ
                                     20061002
OS
     MARPAT 147:469247
GΙ
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The invention relates to novel quinolones of formula I [R1 = AB (un) substituted acyl, alkyl, alkylene, aminoalkyl, amidoalkyl, alkynyl, aryl, arylalkyl, arylalkoxy, etc.; R2 = (un)substituted acyl, alkoxy, alkoxyalkyl, alkyl, alkylene, alkylamino, alkynyl, alkylimino, etc.; R2 may combine with R1 to form (un)substituted heterocycloalkyl; R3 = H, NH2, (un) substituted aryl, haloalkyl, (hetero) arylalkyl, (hetero) (cyclo) alkyl; A, B, C and D independently = (un) substituted acyl, alkoxy, alkyl, alkylene, alkylamino, alkynyl, etc.; any two or more A, B, C and D may combine to form aryl, cycloalkyl, heteroaryl or heterocycloalkyl], and their pharmaceutically acceptable salts, esters or prodrugs, are prepared and disclosed as inducible nitric oxide synthase (iNOS) inhibitors. e.g. II was prepared by acylation of aniline with Et 3-oxobutanoate followed by bromination and cyclization to generate intermediate 4-(bromomethyl)quinolin-2(1H)-one, which underwent substitution with aniline and acylation with furan-2-carbonyl chloride to provide II. The inhibitory activity of all exemplary compds. was evaluated in DAN assay and II was found to have EC50 value of \leq 5 $\mu M. \;\; I$ should prove useful for inhibiting or modulating nitric oxide synthase and/or lowering nitric oxide levels of iNOS and for the treatment of an iNOS-mediated disease in a patient in need thereof. 953068-15-0P, 4-[[3-(3-Chlorophenyl)-5-(4-methylthiazol-5-yl)-1Hpyrazol-4-yl]methyl]-8-fluoroquinolin-2(1H)-one RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of quinolones derivs. useful as inducible nitric oxide synthase inhibitors) RN 953068-15-0 CAPLUS 2(1H)-Quinolinone, 4-[[3-(3-chlorophenyl)-5-(4-methyl-5-thiazolyl)-1H-CN pyrazol-4-yl]methyl]-8-fluoro- (CA INDEX NAME)

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L4
     ANSWER 4 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
     2007:744384 CAPLUS
AN
DN
     148:483659
     Bis(\mu-4-benzyl-3,5-diphenylpyrazolato-\kappa2N:N')bis[(4,4'-dimethyl-bis]]
TТ
     2,2'-bipyridine-\kappa2N,N')palladium(II)] bis(hexafluorophosphate)
     diethyl ether monosolvate monohydrate
     Huang, Hai-Ping; Liu, Li-Xia
ΑU
     Laboratory for Self-Assembly Chemistry, Department of Chemistry, Renmin
CS
     University of China, Beijing, 100872, Peop. Rep. China
SO
     Acta Crystallographica, Section E: Structure Reports Online (2007),
     E63(7), m1875-m1876
     CODEN: ACSEBH; ISSN: 1600-5368
     URL: http://journals.iucr.org/e/issues/2007/07/00/si2018/si2018.pdf
     Blackwell Publishing Ltd.
DT
     Journal; (online computer file)
LΑ
     English
AB
     In the crystal structure of the title compound,
     [Pd2(C22H17N2)2(C12H12N2)2](PF6)2·C4H10O·H2O, two Pd(dmbpy)
     units (dmbpy is 4,4'-dimethyl-2,2'-bipyridine) are bridged by
     4-benzyl-3,5-diphenylpyrazolate ligands in an exodentate fashion, which
     results in a clip-like cavity between the two Pd(dmbpy)Pd planes. A
     disordered hexafluoridophosphate anion is held in the cavity by an
     anion-\pi interaction [P-F···Cg1 = 3.435(15) Å
     (Cg1 is the centroid of the Pd-dmbpy chelate ring system) and
     P-F\cdots Cg2 = 3.187(15) Å (Cg2 is the centroid of a
     pyridine ring)]. A crystallog. 2-fold rotation axis passes through an F
     atom of the disordered anion and the mid-point of the two Pd atoms. The P
     and two F atoms of the 2nd anion also lie on a 2-fold rotation axis, as do
     the O atom of the Et2O and the water O atom. The crystal structure is
     stabilized by electrostatic forces between the cations and anions, and
     intermol. H bonds involving hexafluoridophosphate anions
     (\text{C-H}\cdot\cdot\cdot\text{F})\,, the solvent Et2O mols. and H2O mols.
     (C-H\cdot\cdot\cdot O). Crystallog. data and atomic coordinates are
     given.
IT
     1020667-43-9P
     RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
        (crystal structure of)
     1020667-43-9 CAPLUS
     INDEX NAME NOT YET ASSIGNED
     CM
          1
     CRN 60-29-7
     CMF C4 H10 O
_{\rm H_3C-CH_2-O-CH_2-CH_3}
     СМ
          2
     CRN
         1020667-42-8
         C68 H58 N8 Pd2 . 2 F6 P
          CM
               3
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CRN 1020667-41-7 CMF C68 H58 N8 Pd2 CCI CCS

CM

16919-18-9 CRN CMF F6 P CCI CCS

955955-05-2 IT

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of palladium dimethylbipyridine nitrato complex with benzyldiphenylpyrazole in aqueous solution followed by addition of potassium hexafluorophosphate)

RN

955955-05-2 CAPLUS 1H-Pyrazole, 3,5-diphenyl-4-(phenylmethyl)- (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN L4

AN2007:626543 CAPLUS

DN148:296308

ΤI 4-[(3,5-Diphenyl-1H-pyrazol-4-yl)methyl]benzonitrile ethanol hemisolvate

ΑU Yu, Mei

CS Institute of Biomedical Engineering, Chinese Academy of Medical Sciences, Tianjin, 300192, Peop. Rep. China

Acta Crystallographica, Section E: Structure Reports Online (2007), E63(6), o2863 CODEN: ACSEBH; ISSN: 1600-5368

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URL: http://journals.iucr.org/e/issues/2007/06/00/hg2234/hg2234.pdf
PB
     Blackwell Publishing Ltd.
DT
     Journal; (online computer file)
LA
     English
AΒ
     In 4-[(3,5-diphenyl-1H-pyrazol-4-yl)methyl]benzonitrile hemiethanolate,
     C23H17N3·0.5C2H6O, 2 pyrazole mols. are bridged by 1 EtOH mol.
     through N-H···O and O-H···N H
     bonds. The EtOH solvent mol. is located on a mirror plane. These trimol.
     units are linked by C-H···N, N-
     H···O and H bonds involving the nitrile groups and
     EtOH OH as acceptors and C-H\cdots\pi stacking
     interactions between Ph groups. Crystallog. data are given.
IT
     1007840-65-4
     RL: PRP (Properties)
        (crystal and mol. structure of)
     1007840-65-4 CAPLUS
RN
     Benzonitrile, 4-[(3,5-diphenyl-1H-pyrazol-4-yl)methyl]-, compd. with ethanol (2:1) (CA INDEX NAME)
     CM
          1
     CRN 1007840-64-3
     CMF C23 H17 N3
      CH<sub>2</sub>
     CM
     CRN 64-17-5
     CMF C2 H6 O
H<sub>3</sub>C<sup>−</sup> CH<sub>2</sub><sup>−</sup> OH
RE.CNT 6
              THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 6 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
L4
     2007:242471 CAPLUS
ΑN
DN
     147:511993
ΤI
     4-Benzyl-3,5-diphenyl-1H-pyrazole
ΑIJ
     Huang, Hai-Ping; Wu, Qiong; Liu, Li-Xia; Sun, Qing-Fu
     Laboratory for Self-Assembly Chemistry, Department of Chemistry, Renmin
CS
     University of China, Beijing, 100872, Peop. Rep. China
SO
     Acta Crystallographica, Section E: Structure Reports Online (2007),
     E63(3), o1473-o1474
     CODEN: ACSEBH; ISSN: 1600-5368
     URL: http://journals.iucr.org/e/issues/2007/03/00/hg2185/hg2185.pdf
PB
     Blackwell Publishing Ltd.
DT
     Journal; (online computer file)
LΑ
     English
     CASREACT 147:511993
OS
AΒ
     The mols. of 4-benzyl-3,5-diphenyl-1H-pyrazole, C22H18N2, are connected by
     N-H···N H bonds, forming cyclic dimers. These dimers
     are linked by \text{C-H}\cdots\pi H bonds involving the Ph
     rings as acceptors. Crystallog. data are given.
IT
     955955-05-2P
```

CN

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and crystal and mol. structure of) 955955-05-2 CAPLUS 1H-Pyrazole, 3,5-diphenyl-4-(phenylmethyl)- (CA INDEX NAME)

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 5 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4ANSWER 7 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ΑN 2007:36895 CAPLUS

146:142641 DN

ΤI Preparation of substituted 1-sulfolanyl-1H-pyrazoles as anti-AIDS agents

Paessens, Arnold; Schohe-Loop, Rudolf; Bauser, Marcus; Jeske, Mario; Koebberling, Johannes; Henninger, Kerstin; Lang, Dieter; Welker, Reinhold; Paulsen, Daniela

PAAicuris G.m.b.H. & Co. K.-G., Germany

SO PCT Int. Appl., 94pp.

CODEN: PIXXD2

DTPatent LA German

FAN.CNT 1																	
	PATENT NO.						DATE		APPLICATION NO.					DATE			
						-									-		
PΙ	WO 200'	WO 2007003389			A2		20070111		WO 2006-EP6430				20060701				
	WO 200	WO 2007003389			А3	A3 20070419											
	W:	ΑE,	AG,	ΑL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,
		KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,
		MW,	MX,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,	RU,
		SC,	SD,	SE,	SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,
		US,	UZ,	VC,	VN,	ZA,	ZM,	zw									
	RW	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM,	AP,	EA,	EP,	OA	-	-	-	-	-	-
	DE 1020	0503	1580		A1	-	2007	0111		DE 2	005-	1020	0503	1580	2	0050	706
DE 102005031580 A1 20070111 DE 2005-102005031580 20050706 PRAI DE 2005-102005031580 A 20050706																	
OS MARPAT 146:142641																	
GI																	

The title compds. [I; R1, R2 = (substituted) Ph, 5-6 membered heteroaryl; AB R3 = (substituted) Ph, 5-10 heteroaryl] were prepared Thus,

IT 919095-64-0P 919095-65-1P 919095-66-2P 919095-67-3P 919095-68-4P 919095-69-5P 919095-70-8P 919095-71-9P 919095-72-0P 919095-73-1P 919095-74-2P 919095-75-3P 919095-76-4P 919095-77-5P 919095-78-6P 919095-79-7P 919095-80-0P 919095-81-1P 919095-82-2P 919095-83-3P 919095-84-4P 919095-85-5P 919095-86-6P 919095-87-7P 919095-88-8P 919095-89-9P 919095-90-2P 919095-91-3P 919095-92-4P 919095-93-5P 919095-94-6P 919095-95-7P 919095-96-8P 919095-97-9P 919095-98-0P 919095-99-1P 919096-00-7P 919096-01-8P 919096-03-0P 919096-05-2P 919096-07-4P 919096-09-6P 919096-11-0P 919096-13-2P 919096-15-4P 919096-16-5P 919096-18-7P 919096-19-8P 919096-20-1P 919096-21-2P 919096-22-3P 919096-23-4P 919096-24-5P 919096-64-3P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of substituted sulfolanylpyrazoles as anti-AIDS agents) RN 919095-64-0 CAPLUS Benzamide, 3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3thienyl)-1H-pyrazol-4-yl]methyl]-N-4-pyridinyl- (CA INDEX NAME)

RN 919095-65-1 CAPLUS

CN Benzamide, N-(2-chlorophenyl)-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

RN 919095-66-2 CAPLUS

CN Benzamide, N-(3-methylphenyl)-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

RN 919095-67-3 CAPLUS

CN Benzamide, N-(3-chlorophenyl)-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

RN 919095-68-4 CAPLUS

CN Benzamide, 3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]-N-2-pyridinyl- (CA INDEX NAME)

RN 919095-69-5 CAPLUS
CN Benzamide, N-phenyl-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

RN 919095-70-8 CAPLUS
CN Benzamide, 3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]-N-3-pyridinyl- (CA INDEX NAME)

RN 919095-71-9 CAPLUS
CN Benzamide, N-(2-methyl-4-pyridinyl)-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

919095-72-0 CAPLUS RN

Benzamide, 3-[[5-(5-chloro-2-thienyl)-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]-N-2-pyridinyl- (CA INDEX NAME)

RN

919095-73-1 CAPLUS
Benzamide, 3-[[5-(5-chloro-2-thienyl)-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]-N-3-pyridinyl- (CA INDEX NAME)

RN919095-74-2 CAPLUS

Benzamide, 3-[[5-(5-chloro-2-thienyl)-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]-N-4-pyridinyl- (CA INDEX NAME) CN

INDEX NAME)

RN 919095-75-3 CAPLUS
CN Benzamide, 3-[[5-(5-chloro-2-thienyl)-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]-N-(2-methyl-4-pyridinyl)- (CA

RN 919095-76-4 CAPLUS
CN Benzamide, 3-[[5-(5-chloro-2-thienyl)-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]-N-(2,6-dimethyl-4-pyridinyl)-(CA INDEX NAME)

919095-77-5 CAPLUS
Benzamide, 3-[[5-(2-furanyl)-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]-N-2-pyridinyl- (CA INDEX NAME)

919095-78-6 CAPLUS
Benzamide, 3-[[5-(2-furanyl)-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]-N-3-pyridinyl- (CA INDEX NAME) CN

RN

919095-79-7 CAPLUS
Benzamide, 3-[[5-(2-furanyl)-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]-N-4-pyridinyl- (CA INDEX NAME) CN

McIntosh

919095-80-0 CAPLUS
Benzamide, 3-[[5-(2-furanyl)-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]-N-(2-methyl-4-pyridinyl)- (CA INDEX

$$\begin{array}{c} \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{O} \\ \text{$$

RN 919095-81-1 CAPLUS

Benzamide, 3-[[3-(5-chloro-2-thienyl)-5-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]-N-2-pyridinyl- (CA INDEX NAME)

RN 919095-82-2 CAPLUS

Benzamide, 3-[[3-(5-chloro-2-thienyl)-5-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]-N-3-pyridinyl- (CA INDEX NAME)

919095-83-3 CAPLUS
Benzamide, 3-[[3-(5-chloro-2-thienyl)-5-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]-N-4-pyridinyl- (CA INDEX NAME) CN

RN

919095-84-4 CAPLUS
Benzamide, 3-[[3-(5-chloro-2-thienyl)-5-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]-N-(2-methyl-4-pyridinyl)- (CA CNINDEX NAME)

RN 919095-85-5 CAPLUS

CN Benzamide, N-1H-benzimidazol-6-yl-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

RN 919095-86-6 CAPLUS

CN Benzamide, N-1H-benzotriazol-6-yl-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

RN 919095-87-7 CAPLUS

CN Benzamide, N-(5-methyl-3-pyridinyl)-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

RN919095-88-8 CAPLUS

Benzamide, N-1H-indazol-6-yl-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

RN

919095-89-9 CAPLUS Benzamide, N-(6-ethyl-2-pyridinyl)-3-[[5-phenyl-3-(2-pyridinyl)-1-CN(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX

919095-90-2 CAPLUS RN

Benzamide, N-(6-fluoro-5-methyl-3-pyridinyl)-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX CNNAME)

919095-91-3 CAPLUS RN

Benzamide, N-(5-methyl-2-pyridinyl)-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX CNNAME)

919095-92-4 CAPLUS RN

Benzamide, 3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]-N-2-thiazolyl- (CA INDEX NAME)

RN

919095-93-5 CAPLUS Benzamide, N-(5-chloro-2-pyridinyl)-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

919095-94-6 CAPLUS RN

Benzamide, N-(2-ethyl-4-pyridinyl)-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

919095-95-7 CAPLUS RN

Benzamide, N-(4-methyl-2-thiazolyl)-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

RN

919095-96-8 CAPLUS Benzamide, N-(5-methyl-2-thiazolyl)-3-[[5-phenyl-3-(2-pyridinyl)-1-CN(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX

919095-97-9 CAPLUS RN

CN Benzamide, N-1H-indazol-7-yl-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

RN 919095-98-0 CAPLUS

CN Benzamide, N-(6-methoxy-3-pyridinyl)-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & N & \\ \hline & N & & N & \\ \hline & O & & \\ \end{array}$$

RN 919095-99-1 CAPLUS

CN Benzamide, N-(6-amino-2-pyridinyl)-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

RN 919096-00-7 CAPLUS

CN Benzamide, N-(1-oxido-2-pyridinyl)-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX

919096-01-8 CAPLUS RN

Benzamide, 3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]-N-4-pyrimidinyl- (CA INDEX NAME) CN

919096-03-0 CAPLUS

Benzamide, 3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]-N-2-pyrazinyl- (CA INDEX NAME)

RN

919096-05-2 CAPLUS
Benzamide, N-(6-methyl-2-pyridinyl)-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX CNNAME)

RN 919096-07-4 CAPLUS

CN Benzamide, N-(5-fluoro-2-pyridinyl)-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

RN 919096-09-6 CAPLUS

CN Benzamide, N-[1-(methoxymethyl)-1H-pyrazol-4-yl]-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]-(CA INDEX NAME)

RN 919096-11-0 CAPLUS

CN Benzamide, N-(4-methyl-2-pyridinyl)-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

919096-13-2 CAPLUS RN

Benzamide, N-(4-ethyl-2-pyridinyl)-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX CNNAME)

919096-15-4 CAPLUS RN

Benzamide, N-(5-methyl-1,3,4-thiadiazol-2-yl)-3-[[5-phenyl-3-(2-pyridinyl)-CN1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

RN

919096-16-5 CAPLUS Benzamide, N-(4,6-dimethyl-2-pyridinyl)-3-[[5-phenyl-3-(2-pyridinyl)-1-CN(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX

McIntosh

919096-18-7 CAPLUS RN

Benzamide, N-[5-(hydroxymethyl)-1,3,4-thiadiazol-2-yl]-3-[[5-phenyl-3-(2pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]-(CA INDEX NAME)

RN 919096-19-8 CAPLUS

Benzamide, N-(4,5-dimethyl-2-oxazolyl)-3-[[5-phenyl-3-(2-pyridinyl)-1-CN(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX

919096-20-1 CAPLUS
Benzamide, N-(1,3-dimethyl-1H-pyrazol-5-yl)-3-[[5-phenyl-3-(2-pyridinyl)-1-CN(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

919096-21-2 CAPLUS RN

Benzamide, N-(5-ethyl-1,3,4-thiadiazol-2-yl)-3-[[5-phenyl-3-(2-pyridinyl)-CN 1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

RN 919096-22-3 CAPLUS
CN Benzamide, N-1H-indol-4-yl-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

RN 919096-23-4 CAPLUS
CN Benzamide, N-(2,6-dimethyl-4-pyrimidinyl)-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

RN 919096-24-5 CAPLUS
CN Benzamide, N-(3-methyl-5-isoxazolyl)-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

RN 919096-64-3 CAPLUS

CN Benzamide, N-(6-chloro-3-pyridinyl)-3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

thienyl)-1H-pyrazol-4-yl]methyl]-, methyl ester (CA INDEX NAME)

RN 919095-55-9 CAPLUS
CN Benzoic acid, 3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN

919095-56-0 CAPLUS
Benzoic acid, 3-[[5-(5-chloro-2-thienyl)-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]-, methyl ester (CA INDEX NAME)

RN

919095-58-2 CAPLUS Benzoic acid, 3-[[3-(2-furanyl)-5-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]-, methyl ester (CA INDEX NAME) CN

RN

919095-59-3 CAPLUS Benzoic acid, 3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

McIntosh

919095-60-6 CAPLUS
Benzoic acid, 3-[[5-phenyl-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3thienyl)-1H-pyrazol-4-yl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM

CRN 919095-59-3 CMF C26 H23 N3 O4 S

2 CM

CRN 76-05-1 CMF C2 H F3 O2

RN

919095-61-7 CAPLUS
Benzoic acid, 3-[[5-(5-chloro-2-thienyl)-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

RN

919095-62-8 CAPLUS
Benzoic acid, 3-[[3-(5-chloro-2-thienyl)-5-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

919095-63-9 CAPLUS Benzoic acid, 3-[[5-(2-furanyl)-3-(2-pyridinyl)-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

L4ANSWER 8 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

2006:661832 CAPLUS ΑN

DN145:292940

ΤI Synthesis of pyrazoles by treatment of 3-benzylchromones, 3-benzylflavones and their 4-thio analogues with hydrazine

Levai, Albert; Silva, Artur M. S.; Cavaleiro, Jose A. S.; Alkorta, Ibon; ΑIJ Elguero, Jose; Jeko, Jozsef

CS Department of Organic Chemistry, University of Debrecen, Debrecen, 4010, Hung.

European Journal of Organic Chemistry (2006), (12), 2825-2832 SO CODEN: EJOCFK; ISSN: 1434-193X

PΒ Wiley-VCH Verlag GmbH & Co. KGaA

DTJournal

LA English

OS CASREACT 145:292940

The synthesis of pyrazoles has been accomplished by treatment of 3-benzylchromones, 3-benzylflavones and their 4-thio analogs with hydrazine hydrate in hot pyridine. A plausible reaction mechanism for the formation of pyrazoles was discussed. A 1H NMR study in [D6]DMSO allowed the presence of both pyrazole annular tautomers to be observed, due to the presence of intramol. hydrogen bonds in each tautomer (OH--N and NH--O). GIAO/B3LYP/6-311++G** calcns. were carried out on some model pyrazoles to provide a theor. basis for the NMR exptl. observations.

908252-19-7P 908252-20-0P 908252-21-1P 908252-23-3P 908252-24-4P 908252-25-5P

908252-26-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of substituted pyrazoles via heterocyclization of benzylchromones and benzothiochromones with hydrazine)

908252-19-7 CAPLUS RN

CN Phenol, 2-[4-[(2-chlorophenyl)methyl]-5-phenyl-1H-pyrazol-3-yl]- (CA INDEX NAME)

RN 908252-20-0 CAPLUS CN Phenol, 2-[4-[(3-chlorophenyl)methyl]-5-phenyl-1H-pyrazol-3-yl]- (CA INDEX NAME)

RN 908252-21-1 CAPLUS
CN Phenol, 2-[4-[(4-chlorophenyl)methyl]-5-phenyl-1H-pyrazol-3-yl]- (CA

RN 908252-23-3 CAPLUS
CN Phenol, 2-[4-[(4-bromophenyl)methyl]-5-phenyl-1H-pyrazol-3-yl]- (CA INDEX NAME)

RN 908252-24-4 CAPLUS CN Phenol, 2-[4-[(4-nitrophenyl)methyl]-5-phenyl-1H-pyrazol-3-yl]- (CA INDEX NAME)

RN 908252-25-5 CAPLUS

CN Phenol, 2-[4-[(2,4-dichlorophenyl)methyl]-5-phenyl-1H-pyrazol-3-yl]- (CA INDEX NAME)

RN 908252-26-6 CAPLUS

CN Phenol, 2-[4-[(3,4-dichlorophenyl)methyl]-5-phenyl-1H-pyrazol-3-yl]- (CA INDEX NAME)

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 9 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2005:219796 CAPLUS
- DN 142:280203
- TI Preparation of bicyclic pyrazole derivatives as dipeptidyl peptidase IV
- (DPP-IV) inhibitors

 IN Nakahira, Hiroyuki; Hochigai, Hitoshi; Takeda, Tatsuya; Kobayashi,
 Tomonori; Hume, William Ewan

GΙ

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PA Sumitomo Pharmaceuticals Co., Ltd., Japan SO PCT Int. Appl., 252 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1
PATENT NO. KIND DATE AP
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FAN.CNT 1										
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE						
ΡI	WO 2005021550	A1 2005	0310 WO 2004-JP12617	20040825						
	W: AE, AG, A	L, AM, AT, AU,	AZ, BA, BB, BG, BR, BW, I	BY, BZ, CA, CH,						
	CN, CO, C	R, CU, CZ, DE,	DK, DM, DZ, EC, EE, EG, 1	ES, FI, GB, GD,						
	GE, GH, G	1, HR, HU, ID,	IL, IN, IS, JP, KE, KG,	KP, KR, KZ, LC,						
	LK, LR, L	S, LT, LU, LV,	MA, MD, MG, MK, MN, MW, I	MX, MZ, NA, NI,						
	NO, NZ, O	1, PG, PH, PL,	PT, RO, RU, SC, SD, SE,	SG, SK, SL, SY,						
	TJ, TM, T	I, TR, TT, TZ,	UA, UG, US, UZ, VC, VN,	YU, ZA, ZM, ZW						
	RW: BW, GH, G	1, KE, LS, MW,	MZ, NA, SD, SL, SZ, TZ,	UG, ZM, ZW, AM,						
	AZ, BY, K	G, KZ, MD, RU,	TJ, TM, AT, BE, BG, CH,	CY, CZ, DE, DK,						
	EE, ES, F	I, FR, GB, GR,	HU, IE, IT, LU, MC, NL,	PL, PT, RO, SE,						
	SI, SK, T	R, BF, BJ, CF,	CG, CI, CM, GA, GN, GQ,	GW, ML, MR, NE,						
	SN, TD, T	3								
	EP 1659123	A1 2006	0524 EP 2004-772573	20040825						
	R: AT, BE, C	H, DE, DK, ES,	FR, GB, GR, IT, LI, LU, I	NL, SE, MC, PT,						
	IE, SI, F	I, RO, CY, TR,	BG, CZ, EE, HU, PL, SK							
	US 20070082908	A1 2007	0412 US 2006-595125	20060227						
PRAI	JP 2003-306948	A 2003	0829							
	WO 2004-JP12617	W 2004	0825							
OS	MARPAT 142:280203									

Eto O O
$$C \equiv C-Me$$
 Eto H N N N Boc OMe II

The title compds. I [R1 represents hydrogen, optionally substituted alkyl, etc.; the solid line and dotted line between A and A1 represent a double bond or a single bond; A represents a group represented by the formula C(R2), etc.; A1 represents a group represented by the formula C(R4), etc.; R2 represents hydrogen, optionally substituted alkyl, etc.; R4 represents hydrogen, optionally substituted alkyl, etc.; R6 represents hydrogen, optionally substituted alkyl, etc.; R6 represents hydrogen, optionally substituted aryl, etc.; and Y represents, e.g., a group represented by the formula Q1 (wherein A' represents (CH2)m1; m1 is 0, 1, 2, or 3; and R7 is absent, or one or two R7's are present and each independently represents optionally substituted alkyl, etc.).] are prepared Et 3-(but-2-yn-1-yl)-4-oxo-2-(piperazin-1-yl)-4,5-dihydropyrazolo[1,5-a]pyrazine-6-carboxylate hydrochloride was prepared by heating a solution of II in 1,4-dioxane containing HCl and water at 50°C for 2.5 h. Compds. of this invention in vitro showed IC50 values of 0.9 nM to 82 nM against human DPP-IV.

IT 847492-77-7P 847492-78-8P 847492-79-9P 847492-80-2P 847492-81-3P 847492-82-4P

Absolute stereochemistry.

RN 847492-78-8 CAPLUS

CN L-Proline, 1-[[4-[(2-chlorophenyl)methyl]-5-[(3R)-3-[[(1,1-dimethylethoxy)carbonyl]amino]-1-piperidinyl]-1-(methoxymethyl)-1H-pyrazol-3-yl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 847492-79-9 CAPLUS

CN Carbamic acid, [(3R)-1-[4-[(2-chlorophenyl)methyl]-1-(methoxymethyl)-3-[[2-[(methoxymethylamino)carbonyl]-1-pyrrolidinyl]carbonyl]-1H-pyrazol-5-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 847492-80-2 CAPLUS
CN Carbamic acid, [(3R)-1-[3-[(2-acetyl-1-pyrrolidinyl)carbonyl]-4-[(2-chlorophenyl)methyl]-1-(methoxymethyl)-1H-pyrazol-5-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 847492-81-3 CAPLUS

CN L-Proline, 1-[[4-[(2-chlorophenyl)methyl]-5-[(3R)-3-[[(1,1-dimethylethoxy)carbonyl]amino]-1-piperidinyl]-1-(methoxymethyl)-1H-pyrazol-3-yl]carbonyl]-4-fluoro-, methyl ester, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 847492-82-4 CAPLUS

CN L-Proline, 1-[[4-[(2-chlorophenyl)methyl]-5-[(3R)-3-[[(1,1-dimethylethoxy)carbonyl]amino]-1-piperidinyl]-1-(methoxymethyl)-1H-pyrazol-3-yl]carbonyl]-4-fluoro-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 847492-83-5 CAPLUS

CN Carbamic acid, [(3R)-1-[4-[(2-chlorophenyl)methyl]-3-[[(2S,4S)-4-fluoro-2-[(methoxymethylamino)carbonyl]-1-pyrrolidinyl]carbonyl]-1-(methoxymethyl)-1H-pyrazol-5-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 10 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
L4
      2004:1156566 CAPLUS
AN
DN
      142:94061
     Preparation of pyrazole glycoside compounds as SGLT inhibitors
Kikuchi, Norihiko; Fujikura, Hideki; Tazawa, Shigeki; Yamato, Tokuhisa;
ΤI
IN
      Isaji, Masayuki
Kissei Pharmaceutical Co., Ltd., Japan
      PCT Int. Appl., 105 pp. CODEN: PIXXD2
SO
DT
      Patent
LA
      Japanese
FAN.CNT 1
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FAN.CNI I									3 DD1 7 G3 G7 G1								
PATENT NO.				KIN.	D	DATE		APPLICATION NO.					DATE				
				-													
PI WO 2	PI WO 2004113359			A1		20041229		WO 2004-JP8695						20040615			
	W: A	E, AG,	ΑL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
	C	N, CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
	G	E, GH,	GM,	HR,	HU,	ID,	ΙL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	
	L	K, LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NA,	NI,	
	N	O, NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
	T	J, TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW: B	W, GH,	GM,	KE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
	A	Z, BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
	E	E, ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	
	S	I, SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	
	S	N, TD,	TG														
CA 2529878			A1 20041229			CA 2004-2529878						20040615					
EP 1	EP 1637539			A1	A1 20060322			EP 2004-746165						20040615			
	R: A	T, BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,	
	I	E, SI,	FI,	RO,	CY,	TR,	BG,	CZ,	EE,	HU,	PL,	SK					
US 2	US 20070060531					20070315 US :				2006-561217				20061113			
PRAI JP 2003-175663				A		2003	0620										
WO 2004-JP8695				W		2004	0615										
OS MARPAT 142:94061																	

GI

10/529,895

AB Title compds. I [R1 = H, (un)substituted alkyl, etc.; one of Q and T is II, etc.; the other is Z-Ar; Z = O, etc.; Ar = aryl, etc.; R = (un)substituted cycloalkyl, etc.] were prepared For example, glycosidation of 1-isopropyl-4-(4-methoxybenzyl)-5-phenoxyl-1,2-dihydro-3H-pyrazol-3-one by 2,3,4,6-tetra-O-acetyl- β -D-glucopyranosyl bromide in the presence of benzyltributylammonium chloride followed by deacetylation using sodium methoxide afforded compound I [R1 = isopropyl; R = 4-methoxyphenyl; Q = phenoxy; T = II]. In SMINT inhibition assays, the IC50 value of compound I [R1 = isopropyl; R = 4-methoxyphenyl; Q = phenoxy; T = II] was 700 nM. Of note, compds. I have SGLT inhibition activity (no data provided). Compds. I are claimed useful for the treatment of diabetes, obesity, etc.

IT 815581-51-2P 815581-53-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazole glycoside compds. as SGLT inhibitors for treatment of diabetes and obesity)

RN 815581-51-2 CAPLUS

CN β-D-Glucopyranoside, 4-[(2,4-dimethoxyphenyl)methyl]-1-(1methylethyl)-5-(1-piperidinyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 815581-53-4 CAPLUS CN β -D-Glucopyranoside, 4'-[(2,4-dimethoxyphenyl)methyl]-1'-(1-methylethyl)[1,5'-bi-1H-pyrazol]-3'-yl (9CI) (CA INDEX NAME)

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 11 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN
     2004:486406 CAPLUS
DN
     141:47334
     Preventive or remedy for diseases caused by hyperglycemia
ΤТ
     Ito, Fumiaki; Shibazaki, Toshihide; Tomae, Masaki; Fushimi, Nobuhiko;
     Isaji, Masayuki
     Kissei Pharmaceutical Co., Ltd., Japan
PΑ
SO
     PCT Int. Appl., 34 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     Japanese
FAN.CNT 1
     PATENT NO.
                         KIND
                                 DATE
                                             APPLICATION NO.
                                                                     DATE
     WO 2004050122
                                 20040617
                                             WO 2003-JP15503
PΙ
                          A1
                                                                     20031204
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK,
             LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
             OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
             TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                 20040617
     CA 2507665
                                             CA 2003-2507665
                                                                    20031204
                          Α1
     AU 2003289156
                                             AU 2003-289156
                          A1
                                 20040623
                                                                     20031204
                                             EP 2003-777222
     EP 1568380
                          A1
                                 20050831
                                                                     20031204
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
                                 20060308
                                             CN 2003-80109504
                                                                     20031204
     CN 1744916
                          Α
                                             US 2005-537495
     US 20060035844
                                 20060216
                          Α1
                                                                     20050603
     IN 2005DN02385
                          Α
                                 20070105
                                             IN 2005-DN2385
                                                                     20050603
PRAI JP 2002-352201
                                 20021204
     WO 2003-JP15503
                          W
                                 20031204
AB
     It is intended to provide a medicinal composition containing as the active
     ingredient a selective SGLT1 inhibitor (for example, an SGLT1 inhibitor
     substantially showing no GLUT2 and/or GLUT5 inhibitory effect) which
     exerts a sugar absorption inhibitory effect over a wide range, also has a
     hypoglycemic effect caused by fructose intake in usual diet and thus can
     show an outstanding hypoglycemic effect and which is appropriate as a
     preventive or a remedy for diseases caused by hyperglycemia (for example,
     diabetes, impaired glucose tolerance, diabetic complications or obesity).
     705445-35-8P, 3-(\beta-D-Glucopyranosyloxy)-4-[[4-(2-
IT
     guanidinoethoxy) -2-methylphenyl]methyl] -5-indolyl-1H-pyrazole
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (SGLT1 inhibitors as preventives or remedies for diseases caused by
        hyperglycemia)
RN
     705445-35-8 CAPLUS
CN
     Guanidine, [2-[4-[3-(\beta-D-glucopyranosyloxy)-5-(1H-indol-1-yl)-1H-indol-1-yl)
     pyrazol-4-yl]methyl]-3-methylphenoxy]ethyl]- (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

Absolute stereochemistry.

RN 705445-20-1 CAPLUS CN β -D-Glucopyranoside, 4-[[4-(2-hydroxyethoxy)-2-methylphenyl]methyl]-5- (1H-indol-1-yl)-1H-pyrazol-3-yl, 2,3,4,6-tetraacetate (CA INDEX NAME)

RN 705445-25-6 CAPLUS CN β -D-Glucopyranoside, 4-[[4-[2-(acetyloxy)ethoxy]-2-methylphenyl]methyl]-5-(1H-indol-1-yl)-1H-pyrazol-3-yl, 2,3,4,6-tetraacetate (CA INDEX NAME)

Absolute stereochemistry.

RN 705445-30-3 CAPLUS CN β -D-Glucopyranoside, 4-[[4-(2-aminoethoxy)-2-methylphenyl]methyl]-5-(1H-indol-1-yl)-1H-pyrazol-3-yl, 2,3,4,6-tetraacetate (CA INDEX NAME)

Absolute stereochemistry.

RN 705445-45-0 CAPLUS
CN Carbamic acid, [imino[[2-[4-[[3-(1H-indol-1-yl)-5-[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)oxy]-1H-pyrazol-4-yl]methyl]-3-

methylphenoxy]ethyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4
     ANSWER 12 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
     2004:311011 CAPLUS
AN
DN
     140:321649
TI
     Preparation of pyrazolyl glycoside derivatives as inhibitors of
     1,5-anhydroglucitol/fructose/mannose transporters
     Fujikura, Hideki; Kikuchi, Norihiko; Tazawa, Shigeki; Yamato, Tokuhisa;
IN
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Isaji, Masayuki Kissei Pharmaceutical Co., Ltd., Japan PΑ SO PCT Int. Appl., 159 pp.

CODEN: PIXXD2 DTPatent

LA Japanese

FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE --------------WO 2004031203 A1 20040415 WO 2003-JP12477 20030930 PΙ W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG CA 2500873 CA 2003-2500873 Α1 20040415 20030930 AU 2003272903 A 1 20040423 AU 2003-272903 20030930 EP 1550668 A1 20050706 EP 2003-753967 20030930 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK US 20060128635 Α1 20060615 US 2005-529895 20050919 PRAI JP 2002-293090 Α 20021004 JP 2002-330694 Α 20021114 JP 2002-378959 Α 20021227 WO 2003-JP12477 W 20030930

McIntosh

OS

GΙ

MARPAT 140:321649

OMe i-Pr OMe
$$\mathbb{R}^{1}$$
 \mathbb{R}^{1} \mathbb{R}^{1}

AB The title compds. [I; R = each (un)substituted C3-8 cycloalkyl, C6-10 aryl, C2-9 heterocycloalkyl, or C1-9 heteroaryl; R1 = H, each (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-8 cycloalkyl, C6-10 aryl, C2-9 heterocycloalkyl, or C1-9 heteroaryl; one of Q0 and T0 = $\alpha\text{-}$ or $\beta\text{-}\text{D-glucopyranosyloxy}$ or -mannopyranosyloxy or β -D-deoxyglucopyranosyloxy- and the other = (CH2)nAr; wherein Ar = each (un)substituted C6-10 aryl or C1-9 heteroaryl; n = an integer of 0-2] or pharmacol. acceptable salts or prodrugs thereof are prepared Also disclosed are medicinal composition containing the compound I, medicinal use thereof, and intermediates in producing the same. These compds. exerts an $\,$ excellent effect of inhibiting human 1,5-anhydroglucitol/fructose/mannose transporters and inhibit reabsorption or cellular uptake of glucose, fructose, and mannose in kidney or absorption of these saccharide small intestine and inhibit the increase in blood sugar. Therefore, they are useful as preventives, progress inhibitors or remedies for a disease caused by the over intake of at least one saccharide selected from among glucose, fructose, and mannose or a disease caused by hyperglycemia (diabetic complication, diabetes, or diabetic nephropathy). Thus, glycosidation of 1-isopropyl-5-(4-methoxyphenyl)-4-[(4methoxyphenyl)methyl]-1,2-dihydro-3H-pyrazol-3-one by acetobromo- α -Dglucose in the presence of benzyltributylammonium bromide in a mixture of CH2Cl2 and 5 N aqueous NaOH at room temperature for 1.5 h followed by treatment of the product with NaOMe in MeOH gave 3-(β -D-glucopyranosyloxy)-1isopropyl-5-(4-methoxyphenyl)-4-[(4-methoxyphenyl)methyl]-1H-pyrazole (II). II in vitro inhibited the uptake of [14C] methyl $\alpha\text{-D-glucopyranoside}$ in COS-7 cells transfected with human SMINT/PME18S-FL expression plasmid with IC50 of 92 nM. 678993-32-3P 678993-33-4P 678993-34-5P 678993-35-6P 678993-36-7P 678993-37-8P 678993-38-9P 678993-39-0P 678993-40-3P 678993-41-4P 678993-42-5P 678993-43-6P 678993-44-7P 678993-45-8P 678993-46-9P 678993-47-0P 678993-48-1P 678993-49-2P 678993-50-5P 678993-51-6P 678993-52-7P 678993-53-8P 678993-54-9P 678993-55-0P 678993-56-1P 678993-57-2P 678993-58-3P 678993-59-4P 678993-60-7P 678993-61-8P 678993-62-9P 678993-63-0P 678993-64-1P 678993-65-2P 678993-66-3P 678993-67-4P 678993-68-5P 678993-69-6P 678993-70-9P 678993-71-0P 678993-72-1P 678993-73-2P 678993-74-3P 678993-75-4P 678993-76-5P 678993-77-6P 678993-78-7P 678993-79-8P 678993-80-1P 678993-81-2P 678993-82-3P 678993-83-4P 678993-84-5P 678993-85-6P 678993-86-7P 678993-87-8P 678993-88-9P 678993-89-0P 678993-90-3P 678993-91-4P 678993-92-5P 678993-93-6P 678993-94-7P 678993-95-8P 678993-96-9P 678993-97-0P 678993-98-1P 678993-99-2P 678994-00-8P 678994-01-9P 678994-02-0P 678994-03-1P 678994-04-2P 678994-05-3P 678994-06-4P 678994-07-5P 678994-08-6P 678994-09-7P 678994-10-0P 678994-11-1P 678994-12-2P 678994-13-3P 678994-14-4P 678994-15-5P

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678994-23-5P 678994-24-6P 678994-25-7P
               678994-26-8P 678994-48-4P 678994-49-5P
               678994-50-8P 678994-51-9P 678994-52-0P
               678994-53-1P 678994-54-2P 678994-55-3P
               678994-56-4P 678994-57-5P 678994-58-6P
               678994-59-7P 678994-60-0P 678994-61-1P
               678994-62-2P 678994-63-3P 678994-64-4P
               678994-65-5P 678994-66-6P 678994-67-7P
               678994-68-8P 678994-69-9P 678994-70-2P
               678994-71-3P 678994-72-4P 678994-73-5P
               678994-74-6P 678994-75-7P 678994-76-8P
               678994-77-9P 679392-47-3P 679392-48-4P
               RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
                (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
                (Uses)
                         (preparation of pyrazolyl glycoside derivs. as inhibitors of
                        1,5-anhydroglucitol/fructose/mannose transporters and preventives,
                        progress inhibitors or remedies for diabetic complication, diabetes, or
                        diabetic nephropathy)
               678993-32-3 CAPLUS
ВИ
               \beta\text{-D-Glucopyranoside, 5-(4-methoxyphenyl)-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxyphenyl)methyl]-4-[(4-methoxy
CN
               1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)
```

Absolute stereochemistry.

RN 678993-33-4 CAPLUS
CN β-D-Glucopyranoside, 1-(1-methylethyl)-5-phenyl-4-(phenylmethyl)-1H pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-34-5 CAPLUS CN β -D-Glucopyranoside, 4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-5-phenyl-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678993-35-6 CAPLUS

CN β -D-Glucopyranoside, 1-(1,1-dimethylethyl)-5-phenyl-4-(phenylmethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-36-7 CAPLUS

CN β-D-Glucopyranoside, 1-(1,1-dimethylethyl)-4-[(4-methoxyphenyl)methyl]-5-phenyl-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-37-8 CAPLUS

CN β -D-Glucopyranoside, 4-[(4-methoxyphenyl)methyl]-1,5-diphenyl-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678993-38-9 CAPLUS CN β -D-Glucopyranoside, 1-(4-fluorophenyl)-4-[(4-methoxyphenyl)methyl]-5-phenyl-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-39-0 CAPLUS CN β -D-Glucopyranoside, 1-cyclohexyl-4-[(4-methoxyphenyl)methyl]-5-phenyl-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-40-3 CAPLUS CN β -D-Glucopyranoside, 1-cyclopentyl-4-[(4-methoxyphenyl)methyl]-5-phenyl-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678993-41-4 CAPLUS

Absolute stereochemistry.

RN 678993-42-5 CAPLUS

CN β -D-Glucopyranoside, 1-(4-fluorophenyl)-5-phenyl-4-(phenylmethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-43-6 CAPLUS

CN $\beta\text{-D-Glucopyranoside, 1-cyclopentyl-5-phenyl-4-(phenylmethyl)-1H-pyrazol-3-yl (CA INDEX NAME)$

Absolute stereochemistry.

RN 678993-44-7 CAPLUS

McIntosh

CN β-D-Glucopyranoside, 5-(4-fluorophenyl)-1-(1-methylethyl)-4-(phenylmethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-45-8 CAPLUS

CN β -D-Glucopyranoside, 5-(3-fluorophenyl)-1-(1-methylethyl)-4-(phenylmethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-46-9 CAPLUS

CN β -D-Glucopyranoside, 5-(2-methoxyphenyl)-1-(1-methylethyl)-4-(phenylmethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-47-0 CAPLUS

CN B-D-Glucopyranoside, 5-(3-methoxyphenyl)-1-(1-methylethyl)-4-(phenylmethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

McIntosh

RN 678993-48-1 CAPLUS CN β -D-Glucopyranoside, 5-(4-methoxyphenyl)-1-(1-methylethyl)-4-(phenylmethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-49-2 CAPLUS

CN β -D-Glucopyranoside, 5-(4-fluorophenyl)-4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-50-5 CAPLUS

CN β -D-Glucopyranoside, 5-(3-fluorophenyl)-4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-51-6 CAPLUS

CN β -D-Glucopyranoside, 5-(3-methoxyphenyl)-4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678993-52-7 CAPLUS

CN β-D-Glucopyranoside, 4-[(2-methoxyphenyl)methyl]-1-(1-methylethyl)-5-phenyl-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-53-8 CAPLUS

CN β-D-Glucopyranoside, 4-[(3-methoxyphenyl)methyl]-1-(1-methylethyl)-5-phenyl-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-54-9 CAPLUS

CN β -D-Glucopyranoside, 1-(3-fluorophenyl)-5-phenyl-4-(phenylmethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678993-55-0 CAPLUS

CN β -D-Glucopyranoside, 1-(2-fluorophenyl)-5-phenyl-4-(phenylmethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-56-1 CAPLUS

Absolute stereochemistry.

RN 678993-57-2 CAPLUS

CN β -D-Glucopyranoside, 1-(2-fluorophenyl)-4-[(4-methoxyphenyl)methyl]-5-phenyl-1H-pyrazol-3-yl (CA INDEX NAME)

10/529,895

RN 678993-58-3 CAPLUS CN β -D-Glucopyranoside, 1-cyclobutyl-4-[(4-methoxyphenyl)methyl]-5-phenyl-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-59-4 CAPLUS CN β -D-Glucopyranoside, 4-[(2,4-dimethoxyphenyl)methyl]-1-(1-methylethyl)-5-phenyl-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-60-7 CAPLUS CN β -D-Glucopyranoside, 1-(1-methylethyl)-4-(phenylmethyl)-5-[2-(trifluoromethyl)phenyl]-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678993-61-8 CAPLUS

CN β -D-Glucopyranoside, 1-(1-methylethyl)-4-(phenylmethyl)-5-[3-(trifluoromethyl)phenyl]-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-62-9 CAPLUS

CN β-D-Glucopyranoside, 1-(1-methylethyl)-4-(phenylmethyl)-5-[4-(trifluoromethyl)phenyl]-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-63-0 CAPLUS

CN β -D-Glucopyranoside, 5-(2-fluorophenyl)-1-(1-methylethyl)-4-(phenylmethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-64-1 CAPLUS

CN β -D-Glucopyranoside, 1-(1-methylethyl)-5-(2-methylphenyl)-4-(phenylmethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678993-65-2 CAPLUS

CN β -D-Glucopyranoside, 1-(1-methylethyl)-5-(3-methylphenyl)-4-(phenylmethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-66-3 CAPLUS

CN β -D-Glucopyranoside, 1-(1-methylethyl)-5-(4-methylphenyl)-4-(phenylmethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-67-4 CAPLUS

CN β -D-Glucopyranoside, 4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-5-[2-(trifluoromethyl)phenyl]-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678993-68-5 CAPLUS CN β -D-Glucopyranoside, 4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-5-[3-(trifluoromethyl)phenyl]-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-69-6 CAPLUS

CN β -D-Glucopyranoside, 4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-5-[4-(trifluoromethyl)phenyl]-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-70-9 CAPLUS

CN β -D-Glucopyranoside, 4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-5-(2-methylphenyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-71-0 CAPLUS

CN β-D-Glucopyranoside, 4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-5-(3-methylphenyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-72-1 CAPLUS

CN β -D-Glucopyranoside, 4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-5-(4-methylphenyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-73-2 CAPLUS

CN β -D-Glucopyranoside, 4-[(4-methoxyphenyl)methyl]-5-phenyl-1-propyl-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-74-3 CAPLUS

CN β -D-Glucopyranoside, 5-(4-ethoxyphenyl)-4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678993-75-4 CAPLUS

CN β-D-Glucopyranoside, 4-[(4-methoxyphenyl)methyl]-5-[4-(1-methylethoxy)phenyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-76-5 CAPLUS

CN β -D-Glucopyranoside, 5-(4-hydroxyphenyl)-1-(1-methylethyl)-4-(phenylmethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-77-6 CAPLUS

RN 678993-78-7 CAPLUS

CN β-D-Glucopyranoside, 5-[4-(1-ethylpropoxy)phenyl]-4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-79-8 CAPLUS

Absolute stereochemistry.

RN 678993-80-1 CAPLUS

CN β -D-Glucopyranoside, 5-[4-(1-methylethoxy)phenyl]-1-(1-methylethyl)-4-(phenylmethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678993-81-2 CAPLUS CN β -D-Glucopyranoside, 4-[(4-fluorophenyl)methyl]-5-[4-(1-methylethoxy)phenyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-82-3 CAPLUS
CN β-D-Glucopyranoside, 1-ethyl-4-[(4-methoxyphenyl)methyl]-5-phenyl-1Hpyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-83-4 CAPLUS CN β -D-Glucopyranoside, 4-[(4-methoxyphenyl)methyl]-1-(2-methylpropyl)-5-phenyl-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678993-84-5 CAPLUS

CN β-D-Glucopyranoside, 5-(4-fluorophenyl)-4-[(4-fluorophenyl)methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-85-6 CAPLUS

CN β -D-Glucopyranoside, 4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-5-[4-(2-methylpropyl)phenyl]-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-86-7 CAPLUS

CN β-D-Glucopyranoside, 4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-5-(4-pentylphenyl)-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678993-87-8 CAPLUS

CN β-D-Glucopyranoside, 5-(4-butylphenyl)-4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-88-9 CAPLUS

CN β -D-Glucopyranoside, 4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-5-(4-propylphenyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-89-0 CAPLUS

CN β -D-Glucopyranoside, 4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-5-[4-(1-methylethyl)phenyl]-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678993-90-3 CAPLUS

CN β-D-Glucopyranoside, 5-(4-ethylphenyl)-4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-91-4 CAPLUS

Absolute stereochemistry.

RN 678993-92-5 CAPLUS

CN β -D-Glucopyranoside, 4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-5-(1-naphthalenyl)-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678993-93-6 CAPLUS

CN β-D-Glucopyranoside, 4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-5-(2-naphthalenyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-94-7 CAPLUS

CN β-D-Glucopyranoside, 5-(3-fluoro-4-methoxyphenyl)-4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-95-8 CAPLUS

CN β-D-Glucopyranoside, 5-[1,1'-biphenyl]-4-yl-4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678993-96-9 CAPLUS CN β -D-Glucopyranoside, 5-(4-methoxy-3-methylphenyl)-4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-97-0 CAPLUS
CN β-D-Glucopyranoside, 5-(4-ethoxyphenyl)-4-[(4-fluorophenyl)methyl]-1(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678993-98-1 CAPLUS CN β -D-Glucopyranoside, 4-[(4-fluorophenyl)methyl]-1-(1-methylethyl)-5-[4-(2,2,2-trifluoroethoxy)phenyl]-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678993-99-2 CAPLUS

CN β -D-Glucopyranoside, 4-[(4-fluorophenyl)methyl]-5-phenyl-1-(2,2,2-trifluoroethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-00-8 CAPLUS

CN β-D-Glucopyranoside, 4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-5[4-(2,2,2-trifluoroethoxy)phenyl]-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-01-9 CAPLUS

CN β -D-Glucopyranoside, 5-(3-hydroxyphenyl)-4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678994-02-0 CAPLUS CN β -D-Glucopyranoside, 5-(2-hydroxyphenyl)-4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-03-1 CAPLUS CN β -D-Glucopyranoside, 4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-5-[2-(phenylmethoxy)phenyl]-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-04-2 CAPLUS CN β -D-Glucopyranoside, 4-[[4-(methylthio)phenyl]methyl]-5-phenyl-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678994-05-3 CAPLUS CN β -D-Glucopyranoside, 4-[(4-methoxyphenyl)methyl]-5-phenyl-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-06-4 CAPLUS
CN β-D-Glucopyranoside, 4-[(4-ethoxyphenyl)methyl]-5-phenyl-1H-pyrazol-3yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-07-5 CAPLUS
CN β-D-Glucopyranoside, 5-phenyl-4-[(4-propoxyphenyl)methyl]-1H-pyrazol3-yl (CA INDEX NAME)

RN 678994-08-6 CAPLUS CN β -D-Glucopyranoside, 4-[[4-(1-methylethoxy)phenyl]methyl]-5-phenyl-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-09-7 CAPLUS
CN β-D-Glucopyranoside, 4-[(4-butoxyphenyl)methyl]-5-phenyl-1H-pyrazol-3yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-10-0 CAPLUS CN β -D-Glucopyranoside, 4-[(4-ethylphenyl)methyl]-5-phenyl-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678994-11-1 CAPLUS
CN β-D-Glucopyranoside, 5-phenyl-4-[(4-propylphenyl)methyl]-1H-pyrazol-3yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-12-2 CAPLUS CN β -D-Glucopyranoside, 4-[[4-(1-methylethyl)phenyl]methyl]-5-phenyl-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-13-3 CAPLUS CN β -D-Glucopyranoside, 4-[[4-(2-methylpropyl)phenyl]methyl]-5-phenyl-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678994-14-4 CAPLUS CN β -D-Glucopyranoside, 4-([1,1'-biphenyl]-4-ylmethyl)-5-phenyl-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-15-5 CAPLUS CN β -D-Glucopyranoside, 1-(1-methylethyl)-5-[4-(phenylmethoxy)phenyl]-4-(phenylmethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-23-5 CAPLUS
CN β-D-Glucopyranoside, 5-cyclobutyl-1-(1-methylethyl)-4-(phenylmethyl)1H-pyrazol-3-yl (CA INDEX NAME)

RN 678994-24-6 CAPLUS

CN β -D-Glucopyranoside, 5-cyclohexyl-1-(1-methylethyl)-4-(phenylmethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-25-7 CAPLUS

CN β -D-Glucopyranoside, 5-cyclobutyl-4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-26-8 CAPLUS

CN β -D-Glucopyranoside, 5-cyclohexyl-4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678994-48-4 CAPLUS CN β -D-Glucopyranoside, 5-(2,3-dihydro-1,4-benzodioxin-6-yl)-4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-49-5 CAPLUS CN β -D-Glucopyranoside, 5-(1,3-benzodioxol-5-yl)-4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-50-8 CAPLUS CN β -D-Glucopyranoside, 4-[(3,5-dimethoxyphenyl)methyl]-5-(4-methoxyphenyl)-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678994-51-9 CAPLUS

CN β -D-Glucopyranoside, 4-[(2-ethoxy-4-methoxyphenyl)methyl]-1-(1-methylethyl)-5-phenyl-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-52-0 CAPLUS

CN β -D-Glucopyranoside, 4-[(2-ethoxyphenyl)methyl]-1-(1-methylethyl)-5-phenyl-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-53-1 CAPLUS

RN 678994-54-2 CAPLUS

CN $\beta\text{-D-Glucopyranoside, 4-[(2-ethoxyphenyl)methyl]-5-(4-methoxyphenyl)-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)$

Absolute stereochemistry.

RN 678994-55-3 CAPLUS

CN β -D-Glucopyranoside, 4-[(2-ethoxy-4-methoxyphenyl)methyl]-5-(4-methoxyphenyl)-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-56-4 CAPLUS

CN β -D-Glucopyranoside, 4-[(2,4-dimethoxyphenyl)methyl]-5-(4-ethylphenyl)-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678994-57-5 CAPLUS CN β -D-Glucopyranoside, 4-[(2,4-dimethoxyphenyl)methyl]-1-(1-methylethyl)-5-[4-(1-methylethyl)phenyl]-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-58-6 CAPLUS
CN β -D-Glucopyranoside, 5-[4-(dimethylamino)phenyl]-4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-59-7 CAPLUS
CN β-D-Glucopyranoside, 4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-5-(3-pyridinyl)-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678994-60-0 CAPLUS CN β -D-Glucopyranoside, 4-[(2,4-dimethoxyphenyl)methyl]-5-[4-(dimethylamino)phenyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-61-1 CAPLUS CN β -D-Glucopyranoside, 4-[(2,4-dimethoxyphenyl)methyl]-1-(1-methylethyl)-5-(4-pyridinyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-62-2 CAPLUS CN Benzoic acid, 4-[4-[(2,4-dimethoxyphenyl)methyl]-3-(β -D-glucopyranosyloxy)-1-(1-methylethyl)-1H-pyrazol-5-yl]-, methyl ester (CA INDEX NAME)

RN 678994-63-3 CAPLUS CN Benzoic acid, 4-[4-[(2,4-dimethoxyphenyl)methyl]-3-(β -D-glucopyranosyloxy)-1-(1-methylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-64-4 CAPLUS CN β -D-Glucopyranoside, 4-[(2,4-dimethoxyphenyl)methyl]-1-(1-methylethyl)-5-(3-thienyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-65-5 CAPLUS CN β -D-Glucopyranoside, 4-[(2,4-dimethoxyphenyl)methyl]-1-(1-methylethyl)-5-(2-thienyl)-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678994-66-6 CAPLUS

CN Benzamide, 4-[4-[(2,4-dimethoxyphenyl)methyl]-3-(β-Dglucopyranosyloxy)-1-(1-methylethyl)-1H-pyrazol-5-yl]-N,N-dimethyl- (CA
INDEX NAME)

Absolute stereochemistry.

RN 678994-67-7 CAPLUS

CN Benzamide, 4-[4-[(2,4-dimethoxyphenyl)methyl]-3-(β -D-glucopyranosyloxy)-1-(1-methylethyl)-1H-pyrazol-5-yl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-68-8 CAPLUS

CN Benzamide, 4-[4-[(2,4-dimethoxyphenyl)methyl]-3-(β-D-glucopyranosyloxy)-1-(1-methylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

RN 678994-69-9 CAPLUS CN Acetamide, 2-[4-[[3-(β -D-glucopyranosyloxy)-5-(4-methoxyphenyl)-1-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methoxyphenoxy]- (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-70-2 CAPLUS CN Acetamide, 2-[4-[[5-(4-ethylphenyl)-3-(β -D-glucopyranosyloxy)-1-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methoxyphenoxy]- (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-71-3 CAPLUS CN Acetamide, 2-[4-[[3-(β -D-glucopyranosyloxy)-1-(1-methylethyl)-5-[4-(1-methylethyl)phenyl]-1H-pyrazol-4-yl]methyl]-3-methoxyphenoxy]- (CA INDEX NAME)

RN 678994-72-4 CAPLUS
CN β-D-Glucopyranoside, 4-[[4-(2-hydroxyethoxy)-2-methoxyphenyl]methyl]5-(4-methoxyphenyl)-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-73-5 CAPLUS CN β -D-Glucopyranoside, 5-(4-ethylphenyl)-4-[[4-(2-hydroxyethoxy)-2-methoxyphenyl]methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-74-6 CAPLUS CN β -D-Glucopyranoside, 4-[[4-(2-hydroxyethoxy)-2-methoxyphenyl]methyl]-1-(1-methylethyl)-5-[4-(1-methylethyl)phenyl]-1H-pyrazol-3-yl (CA INDEX NAME)

RN 678994-75-7 CAPLUS
CN β-D-Glucopyranoside, 4-[[4-(3-hydroxypropoxy)-2-methoxyphenyl]methyl]5-(4-methoxyphenyl)-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-76-8 CAPLUS CN β -D-Glucopyranoside, 5-(4-ethylphenyl)-4-[[4-(3-hydroxypropoxy)-2-methoxyphenyl]methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-77-9 CAPLUS
CN β-D-Glucopyranoside, 4-[[4-(3-hydroxypropoxy)-2-methoxyphenyl]methyl]1-(1-methylethyl)-5-[4-(1-methylethyl)phenyl]-1H-pyrazol-3-yl (CA INDEX NAME)

RN 679392-47-3 CAPLUS
CN β-D-Glucopyranoside, 5-(2-methoxyphenyl)-4-[(4-methoxyphenyl)methyl]1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

RN 679392-48-4 CAPLUS CN β -D-Glucopyranoside, 5-(2-fluorophenyl)-4-[(4-methoxyphenyl)methyl]-1- (1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

IT 678994-83-7P 678994-96-2P 678995-00-1P
678995-10-3P 678995-14-7P 678995-15-8P
678995-16-9P 678995-17-0P 678995-18-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
 (preparation of pyrazolyl glycoside derivs. as inhibitors of
 1,5-anhydroglucitol/fructose/mannose transporters and preventives,
 progress inhibitors or remedies for diabetic complication, diabetes, or
 diabetic nephropathy)

RN 678994-83-7 CAPLUS CN β -D-Glucopyranoside, 5-(4-methoxyphenyl)-4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl, 2,3,4,6-tetraacetate (CA INDEX NAME)

Absolute stereochemistry.

RN 678994-96-2 CAPLUS CN β -D-Glucopyranoside, 5-(2,3-dihydro-1,4-benzodioxin-6-yl)-4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl, 2,3,4,6-tetraacetate (CA INDEX NAME)

Absolute stereochemistry.

RN 678995-00-1 CAPLUS CN β -D-Glucopyranoside, 4-[(3,5-dimethoxyphenyl)methyl]-5-(4-methoxyphenyl)-1-(1-methylethyl)-1H-pyrazol-3-yl, 2,3,4,6-tetraacetate (CA INDEX NAME)

Absolute stereochemistry.

RN 678995-10-3 CAPLUS CN β -D-Glucopyranoside, 5-[4-(dimethylamino)phenyl]-4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl,

2,3,4,6-tetraacetate (CA INDEX NAME)

Absolute stereochemistry.

RN 678995-14-7 CAPLUS

CN β-D-Glucopyranoside, 4-[(4-hydroxy-2-methoxyphenyl)methyl]-5-(4-methoxyphenyl)-1-(1-methylethyl)-1H-pyrazol-3-yl, 2,3,4,6-tetrakis(2,2-dimethylpropanoate) (CA INDEX NAME)

Absolute stereochemistry.

RN 678995-15-8 CAPLUS

N β-D-Glucopyranoside, 4-[[2-methoxy-4-(1-methylethoxy)phenyl]methyl]-5-(4-methoxyphenyl)-1-(1-methylethyl)-1H-pyrazol-3-yl, 2,3,4,6-tetrakis(2,2-dimethylpropanoate) (CA INDEX NAME)

RN 678995-16-9 CAPLUS CN Acetamide, 2-[3-methoxy-4-[[5-(4-methoxyphenyl)-1-(1-methylethyl)-3-[(2,3,4,6-tetra-O-acetyl- β -D-glucopyranosyl)oxy]-1H-pyrazol-4-yl]methyl]phenoxy]- (CA INDEX NAME)

Absolute stereochemistry.

RN 678995-17-0 CAPLUS
CN β-D-Glucopyranoside, 5-(4-methoxyphenyl)-4-[[2-methoxy-4-[2-(phenylmethoxy)ethoxy]phenyl]methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl, 2,3,4,6-tetrakis(2,2-dimethylpropanoate) (CA INDEX NAME)

Absolute stereochemistry.

RN 678995-18-1 CAPLUS
CN β-D-Glucopyranoside, 5-(4-methoxyphenyl)-4-[[2-methoxy-4-[2-(phenylmethoxy)ethoxy]phenyl]methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

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RE.CNT 42
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     Preparation of carbamates as hormone-sensitive lipase inhibitors for the
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     PCT Int. Appl., 390 pp.
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AB Title compds. I [wherein R1 = H or (un)substituted (cyclo)alkyl or alkenyl; R2 = (un)substituted (cyclo)alkyl, alkenyl, (hetero)aryl, or heterocyclyl; or NR1R2 = heterocyclyl; X = O or S; L = a hydrolyzable group; or pharmaceutically acceptable salts, solvates, tautomeric forms, stereoisomers, racemates, or polymorphs thereof] were prepared as inhibitors of hormone-sensitive lipase (HSL). For example, esterification of morpholine-4-carbonyl chloride with 4-(3,5-dichloropyridin-4-yloxy)phenol in the presence of DABCO in THF gave II, which showed 88% inhibition of HSL at a concentration of 10 μM. Thus, I and pharmaceutical comppns. thereof are useful for the treatment and/or prevention of medical disorders where a decreased activity of hormone-sensitive lipase is desirable, such as diabetes (no data).

IT 548767-36-8P, N-Methyl-N-phenylcarbamic acid 4-benzyl-5-(4methoxyphenyl)-3-(4-methylphenyl)pyrazol-1-yl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(lipase inhibitor; preparation of carbamates as HSL inhibitors for treatment of diabetes and related disorders)

RN 548767-36-8 CAPLUS

CN 1H-Pyrazole, 5-(4-methoxyphenyl)-3-(4-methylphenyl)-1[[(methylphenylamino)carbonyl]oxy]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

(phenylmethyl) - (CA INDEX NAME)

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ANSWER 14 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
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     139:69056
     Preparation of carbamates as hormone-sensitive lipase inhibitors for the
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     treatment of diabetes and related disorders
IN
     Ebdrup, Soren; Cornelis De Jong, Johannes; Jacobsen, Poul; Hansen, Holger
     Claus; Vedso, Per
     Novo Nordisk A/S, Den.
PΑ
     PCT Int. Appl., 519 pp.
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                                 20071009
     EP 1458374
                           A2
                                 20040922
                                             EP 2002-787448
                                                                      20021213
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
     CN 1602191
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                                 20050330
                                              CN 2002-828075
                                                                      20021213
     BR 2002014967
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                                 20050510
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                                 20050623
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                                              HU 2005-1011
     HU 2005001011
                           A2
                                 20060130
                                                                      20021213
     RU 2317981
                           C2
                                 20080227
                                              RU 2004-121461
                                                                      20021213
     ZA 2004004324
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                                              ZA 2004-4324
                                                                      20040602
     IN 2004CN01295
                           Α
                                 20070727
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                                                                      20040611
     MX 2004PA05790
                                             MX 2004-PA5790
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                                                                      20040614
     NO 2004002962
                           Α
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                                             NO 2004-2962
                                                                      20040713
PRAI DK 2001-1879
                           Α
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     DK 2002-645
                           Α
                                 20020430
     DK 2002-1000
                           Α
                                 20020629
     DK 2002-1562
                           Α
                                 20021011
     US 2002-346909P
                           Р
                                 20020103
     US 2002-384253P
                           Ρ
                                 20020510
     US 2002-393068P
                           Р
                                 20020628
     US 2002-418481P
                           Р
                                 20021015
     WO 2002-DK852
                           W
                                 20021213
     MARPAT 139:69056
OS
GΙ
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AB Title compds. I [wherein R1 = H or (un)substituted (cyclo)alkyl or alkenyl; R2 = (un)substituted (cyclo)alkyl, alkenyl, (hetero)aryl, or heterocyclyl; or NR1R2 = heterocyclyl; X = O or S; L = a hydrolyzable group; or pharmaceutically acceptable salts, solvates, tautomeric forms, stereoisomers, racemates, or polymorphs thereof] were prepared as inhibitors of hormone-sensitive lipase (HSL). For example, esterification of morpholine-4-carbonyl chloride with 4-(3,5-dichloropyridin-4-yloxy)phenol in the presence of DABCO in THF gave II, which showed 88% inhibition of HSL at a concentration of 10 μM. Thus, I and pharmaceutical compns. thereof are useful for the treatment and/or prevention of medical disorders where a decreased activity of hormone-sensitive lipase is desirable, such as diabetes (no data).

IT 548767-36-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(lipase inhibitor; preparation of carbamates as HSL inhibitors for treatment of diabetes and related disorders)

548767-36-8 CAPLUS

CN 1H-Pyrazole, 5-(4-methoxyphenyl)-3-(4-methylphenyl)-1[[(methylphenylamino)carbonyl]oxy]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

IT 548767-37-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of carbamates as HSL inhibitors for treatment of diabetes and related disorders)

RN 548767-37-9 CAPLUS

CN 1H-Pyrazole, 1-hydroxy-5-(4-methoxyphenyl)-3-(4-methylphenyl)-4-(phenylmethyl)- (CA INDEX NAME)

ANSWER 15 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN L4

2003:279804 CAPLUS ΑN

DN138:294714

ΤI Organic electroluminescent device using pyrazole or pyrazoline

Suzuki, Koichi; Ueno, Kazunori; Senoo, Akihiro IN

PΑ

Canon Inc., Japan Jpn. Kokai Tokkyo Koho, 25 pp. SO

CODEN: JKXXAF

DTPatent

LΑ Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2003109765	A	20030411	JP 2001-300548	20010928
PRAI JP 2001-300548		20010928		

OS MARPAT 138:294714

GΙ

The invention refers to an organic electroluminescent device comprising AΒ pyrazole or pyrazoline I [R1 = H, alkyl, (un)substituted aralkyl, aryl, heterocyclic, condensed polycyclic aromatic or heterocyclic; Ar1-3 = (un) substituted aryl, heterocyclic, condensed polycyclic aromatic or heterocyclic; at least two of R1, Ar1-3 are (un)substituted condensed polycyclic aromatic or heterocyclic groups].

IT504414-91-9

RL: DEV (Device component use); USES (Uses)

(organic electroluminescent device using pyrazole or pyrazoline)

504414-91-9 CAPLUS RN

CN1H-Pyrazole, 1-(1-naphthalenyl)-3,5-di-3-perylenyl-4-(phenylmethyl)- (CA INDEX NAME)

ANSWER 16 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN L4

AN2002:855864 CAPLUS

DN139:214344

Product class 1: pyrazoles TI

Stanovnik, B.; Svete, J. ΑU

Faculty of Chemistry and Chemical Technology, Division of Organic CS Chemistry, Ljubljana, 61000, Slovenia

Science of Synthesis (2002), 12, 15-225 so

CODEN: SSCYJ9

PB Georg Thieme Verlag

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DТ
     Journal; General Review
LA
     English
     A review. Methods for preparing pyrazoles are reviewed including
AB
     cyclization, ring transformation, aromatization and substituent
     modifications.
     118472-50-7P 371772-77-9P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of pyrazoles via cyclization, ring transformation,
        aromatization and substituent modifications)
     118472-50-7 CAPLUS
RN
     1H-Pyrazole, 1,3,5-triphenyl-4-(phenylmethyl)- (CA INDEX NAME)
CN
    Ph
          Ph
Ph
        CH2-Ph
     371772-77-9 CAPLUS
RN
     1H-Pyrazole, 5-(4-methylphenyl)-1,3-diphenyl-4-(phenylmethyl)- (CA INDEX
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Ph
        {\rm CH_2}-{\rm Ph}
              THERE ARE 909 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 909
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
L4
     ANSWER 17 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
     2002:171866 CAPLUS
ΑN
DN
     136:232313
TI
     Preparation of pyrimidine derivatives as G protein-coupled receptor kinase
     (GRK) inhibitors
     Fukumoto, Shoji; Watanabe, Toshifumi; Ikeda, Shota
IN
     Takeda Chemical Industries, Ltd., Japan
PΑ
SO
     PCT Int. Appl., 322 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     Japanese
FAN.CNT 1
     PATENT NO.
                         KIND
                               DATE
                                            APPLICATION NO.
                                                                    DATE
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     WO 2002018350
                         A1
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                                            WO 2001-JP7397
                                                                    20010829
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2001082520
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                          Α5
                                                                     20010829
     JP 2002145778
                          Α
                                20020522
                                             JP 2001-259683
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                                20000829
PRAI JP 2000-264499
                          Α
     WO 2001-JP7397
                          W
                                20010829
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GΙ

MARPAT 136:232313

RN

$$A \longrightarrow X-R^2$$

Disclosed are novel GRK inhibitors which contains compds. represented by the formula (I), a salt thereof, or a prodrug comprising either of these (wherein ring A represents optionally further substituted nitrogen-containing heterocycle; R1 and R2 each represents optionally substituted amino; and X represents a spacer comprising a linear part constituted of one to four atoms, provided that R1 may be bonded to R2 or/and X to form a ring). They are useful as preventives/remedies for cardiac failure. Thus, 5.48 g K2CO3 and 7.52 g 2-aminophenyl 2-nitrophenyl sulfide were added to a suspension of 5.61 g 4-amino-5-bromomethyl-2-methylpyrimidine hydrobromide in 40 mL acetone at room temperature and stirred at 65° for 64 h to give 2.36 g N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2nitrophenyl)thio]phenyl]amine (II). All 10 compds. tested including II at 30 µM inhibited 30% human GRK2 expressed by human GRK2 gene in COS-7 cells. A capsule and a tablet formulation containing II were also prepared 403515-13-9P IT

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine derivs. as G protein-coupled receptor kinase (GRK) inhibitors for prevention and/or treatment for cardiac failure) 403515-13-9 CAPLUS

Benzamide, N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-4-[(3,5-diphenyl-1H-pyrazol-4-yl)methyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

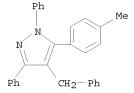
Ме

L4 ANSWER 18 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2001:658144 CAPLUS

DN 135:344418

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ΤТ
     Regioselective Synthesis of Polysubstituted Pyrazoles and Isoxazoles
     Katritzky, Alan R.; Wang, Mingyi; Zhang, Suoming; Voronkov, Michael V.;
ΑU
     Steel. Peter J.
CS
     Department of Chemistry Center for Heterocyclic Compounds, University of
     Florida, Gainesville, FL, 32611-7200, USA
     Journal of Organic Chemistry (2001), 66(20), 6787-6791
SO
     CODEN: JOCEAH; ISSN: 0022-3263
PR
     American Chemical Society
DT
     Journal
     English
LΑ
     CASREACT 135:344418
OS
     A regioselective synthesis has been developed for the preparation of unsym.
AB
     1,3,5-triaryl-4-alkylpyrazolines and -pyrazoles by treatment of
     \alpha-benzotriazolyl-\alpha, \beta-unsatd. ketones with monosubstituted
     hydrazines followed by alkylation at the 4-position of the pyrazoline
     ring. Reaction of \alpha-benzotriazolyl-\alpha, \beta-unsatd. ketones
     with hydroxylamine gives 3,5-disubstituted isoxazoles regioselectively.
     371772-77-9P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (regioselective synthesis of polysubstituted pyrazoles and isoxazoles)
RN
     371772-77-9 CAPLUS
     1H-Pyrazole, 5-(4-methylphenyl)-1,3-diphenyl-4-(phenylmethyl)- (CA INDEX
     NAME)
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THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 35
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 19 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
L4
     2000:117030 CAPLUS
ΑN
     132:166234
DN
ΤI
     Preparation of estrogen receptor modulating pyrazoles
    Huebner, Verena D.; Lin, Xiaodong; James, Ian; Chen, Liya; Desai, Manoj;
IN
     Krywult, Beata; Singh, Rajinder; Wang, Liang
PΑ
     Chiron Corp., USA
     PCT Int. Appl., 124 pp.
SO
     CODEN: PIXXD2
ΤТ
     Patent
     English
FAN.CNT 2
     PATENT NO.
                         KIND
                                DATE
                                             APPLICATION NO.
                                                                    DATE
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PΙ
     WO 2000007996
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                                             WO 1999-US17799
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     WO 2000007996
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             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
             MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW
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             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     AU 9954677
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                                                                     19990806
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     EP 1102753
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     ES 2281186
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    US 20020111374
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                          A1
                                             US 2001-954039
                                                                     20010918
     US 20040034081
                          Α9
                                20040219
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	US 6727273 US 20040077701	B2 A1	20040427 20040422	US 2003-461914	20030612
	US 39708	E1	20070626	US 2004-757347	20040113
PRAI	US 1998-95772P	P	19980807		
	US 1998-95773P	P	19980807		
	US 1999-369747	А3	19990806		
	WO 1999-US17799	W	19990806		
	US 2001-954039	A1	20010918		
OS	MARPAT 132:166234				
GI					

$$R^2$$
 R^3
 $N-N$
 R^4
 R^4
 R^4
 R^4
 R^4
 R^4
 R^2
 R^2
 R^2
 R^3
 R^3

AB The title compds. [I and II; R1, R3 = alkyl, aryl, heteroaryl, etc.; R2 = H, halo, CN, etc.; R4 = H, CO2H, CHO, etc.] which have been found to have unexpected and surprising activity in modulating estrogen receptor activity, and therefore are useful for treating or preventing estrogen receptor-mediated disorders such as osteoporosis, breast and endometrial cancers, atherosclerosis, and Alzheimer's disease, were prepared E.g., a multi-step synthesis of II [R1 = Ph2CH; R2 = Et; R3 = 4-HOC6H4; R4 = Me], starting with 4'-methoxybutyrylphenone and 2,2-diphenylacetyl chloride, was given (no data for intermediates). Biol. data for compds. I and II were presented.

IT 258845-58-8P 258845-59-9P 258845-60-2P 258845-61-3P 258845-99-7P 258846-01-4P 258846-03-6P 258846-05-8P 258848-04-3P 258848-17-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of estrogen receptor modulating pyrazoles)

RN 258845-58-8 CAPLUS

CN Phenol, 4,4'-[1-(4-bromophenyl)-4-(phenylmethyl)-1H-pyrazole-3,5-diyl]bis-(9CI) (CA INDEX NAME)

RN 258845-59-9 CAPLUS
CN Phenol, 4,4'-[1-(4-chloro-2-methylphenyl)-4-(phenylmethyl)-1H-pyrazole-3,5-diyl]bis- (9CI) (CA INDEX NAME)

RN 258845-60-2 CAPLUS
CN Phenol, 4,4'-[1-(3-chlorophenyl)-4-(phenylmethyl)-1H-pyrazole-3,5-diyl]bis(9CI) (CA INDEX NAME)

RN 258845-61-3 CAPLUS
CN Phenol, 4,4'-[1-(4-chlorophenyl)-4-(phenylmethyl)-1H-pyrazole-3,5-diyl]bis(9CI) (CA INDEX NAME)

RN 258845-99-7 CAPLUS
CN Phenol, 3-[[3,5-bis(4-hydroxyphenyl)-4-(phenylmethyl)-1H-pyrazol-1-yl]methyl]- (CA INDEX NAME)

RN 258846-01-4 CAPLUS
CN Phenol, 4,4'-[1-[4-(methylsulfonyl)phenyl]-4-(phenylmethyl)-1H-pyrazole-3,5-diyl]bis- (9CI) (CA INDEX NAME)

RN 258846-03-6 CAPLUS
CN Phenol, 4,4'-[1-(pentafluorophenyl)-4-(phenylmethyl)-1H-pyrazole-3,5-diyl]bis- (9CI) (CA INDEX NAME)

RN 258846-05-8 CAPLUS
CN Phenol, 4,4'-[4-(phenylmethyl)-1-[4-(trifluoromethoxy)phenyl]-1H-pyrazole3,5-diyl]bis- (9CI) (CA INDEX NAME)

RN 258848-04-3 CAPLUS
CN Phenol, 4,4'-[1-cyclobutyl-4-(phenylmethyl)-1H-pyrazole-3,5-diyl]bis(9CI) (CA INDEX NAME)

RN 258848-17-8 CAPLUS
CN Phenol, 4-[1-(2-fluorophenyl)-5-(4-methylphenyl)-4-(phenylmethyl)-1Hpyrazol-3-yl]- (CA INDEX NAME)

ANSWER 20 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN L4AN1998:780010 CAPLUS DN130:125020 ΤI Synthesis of potassium tris(substituted pyrazolyl) hydroboride Guo, Shengi; Li, Xianjun; Yin, Yuanqi Department of Chemistry, Sichuan University, Chengdu, 610064, Peop. Rep. ΑIJ CS Huaxue Yanjiu Yu Yingyong (1998), 10(4), 406-409 CODEN: HYYIFM; ISSN: 1004-1656 Huaxue Yanjiu Yu Yingyong Bianjibu PB DTJournal

LA Chinese

AB Potassium pyrazole hydroboride derivs. (I; R1 = CH3, Ph; R3= thiophenyl, Ph) were synthesized and fully characterized. The position-isomer and 1H NMR spectra of these compds. were also discussed.

IT 219863-66-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of potassium tris(substituted pyrazolyl) hydroboride)

RN 219863-66-8 CAPLUS

CN 1H-Pyrazole, 3-phenyl-4-(phenylmethyl)-5-(2-thienyl)- (CA INDEX NAME)

L4 ANSWER 21 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1997:44662 CAPLUS

DN 126:59751

OREF 126:11733a,11736a

TI Preparation of di- and tricarboxybenzamides and analogs as squalene synthetase and protein farnesyltransferase inhibitors

IN Baker, William R.; Rosenberg, Saul H.; Fung, K. L. Anthony; Rockway, Todd W.; Fakhoury, Stephen A.; Garvey, David S.; Donner, B. Gregory; O'Connor, Stephen J.; Prasad, Rajnandan N.; Shen, Wang; Stout, David M.; Sullivan, Gerard M.

PA Abbott Laboratories, USA

SO PCT Int. Appl., 241 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

FAN.CNT 3						
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
ΡI	WO 9634851	A1	19961107	WO 1996-US6193	19960502	
	W: AU, CA, JP,	KR, MX				
	RW: AT, BE, CH,	DE, DK	, ES, FI, F	R, GB, GR, IE, IT, LU,	MC, NL, PT, SE	
	US 5783593	A	19980721	US 1996-633262	19960429	
	AU 9656731	A	19961121	AU 1996-56731	19960502	
PRAI	US 1995-429095	A	19950503			
	US 1996-633262	A	19960429			
	US 1993-147708	B2	19931104			
	US 1994-289711	B2	19940909			
	US 1994-322783	B2	19941018			
	WO 1996-US6193	W	19960502			
OS	MARPAT 126:59751					
GI						

McIntosh

$$A^{1}$$
 A^{2}
 A^{3}
 A^{3}
 A^{4}
 A^{2}
 A^{3}
 A^{2}
 A^{3}
 A^{4}
 A^{2}
 A^{3}
 A^{4}
 A^{5}
 A^{4}
 A^{5}
 A^{6}
 A^{7}
 A^{7

AB Title compds. [e.g., I; A1 = ZCONR1R2; A2,A4, and A5 or A2 and A4 or A3and A4 = (protected) CO2H and the other An = H; R1 = (chloro)benzyl, (CH2)2-4Ph, CH2C6H4(OPh)-4; R2 = (CH2)1-2C6H4(OPh)-4; Z = bond, NR, O; R = H, (cyclo)alkyl, aralkyl, cycloalkylalkyl] were prepared Thus, 4-(PhO)C6H4CHO was reductively aminated by H2CH2Ph and the product amidated by 1,2,4,5-benzenetetracarboxylic dianhydride to give title compound II. Data for in vitro inhibition of protein farnesyltransferase by selected I were given.

IT 185049-89-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of di- and tricarboxybenzamides and analogs as squalene synthetase and protein farnesyltransferase inhibitors)

RN 185049-89-2 CAPLUS

CN 1,2-Benzenedicarboxylic acid, 4-[5-(4-phenoxyphenyl)-4-(phenylmethyl)-1Hpyrazol-3-yl]- (CA INDEX NAME)

IT 185051-22-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of di- and tricarboxybenzamides and analogs as squalene synthetase and protein farnesyltransferase inhibitors)

RN 185051-22-3 CAPLUS

CN 1,2-Benzenedicarboxylic acid, 4-[5-(4-phenoxyphenyl)-4-(phenylmethyl)-1Hpyrazol-3-yl]-, dimethyl ester (9CI) (CA INDEX NAME)

ANSWER 22 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN 1992:59269 CAPLUS 116:59269 DN OREF 116:10257a,10260a Structure-activity relationships associated with 3,4,5-triphenyl-1Hpyrazole-1-nonanoic acid, a nonprostanoid prostacyclin mimetic Meanwell, Nicholas A.; Rosenfeld, Michael J.; Wright, J. J. Kim; Brassard, AU Catherine L.; Buchanan, John O.; Federici, Marianne E.; Fleming, J. Stuart; Seiler, Steven M. Bristol-Myers Squibb Pharm. Res. Inst., Wallingford, CT, 06492, USA CS Journal of Medicinal Chemistry (1992), 35(2), 389-97 SO CODEN: JMCMAR; ISSN: 0022-2623 DT Journal LΑ English OS CASREACT 116:59269 GT

A series of phenylated pyrazolealkanoic acids, e.g., I (R = (CH2)nCO2H, n = 5-10, R1, R3 = H, Ph, R2 = Ph, PhCH2, Et; R = (CH2)6XCH2CO2H, X = O, S, S(O), SO2, R1 = R2 = R3 = Ph) and related derivs. were prepared as inhibitors of ADP-induced human platelet aggregation. 3,4,5-Triphenyl-1H-pyrazole-1-nonanoic acid (II), with an IC50 of 0.4 μM , was the most potent inhibitor. Biochem. studies determined that II increased intraplatelet cAMP accumulation and stimulated platelet membrane-bound adenylate cyclase in a concentration-dependent fashion. Displacement of [3H]iloprost by II from platelet membranes indicated that the platelet prostacyclin (PGI2) receptor is the locus of biol. action. Structure-activity studies demonstrated that the min. structural requirements for binding to the platelet PGI2 receptor and inhibition of ADP-induced platelet aggregation within this series are a vicinally diphenylated pyrazole substituted with an ω -alkanoic acid side chain 8 or 9 atoms long. Potency depended upon both side-chain length and its topol. relationship with the two Ph rings. IT 137743-31-8 RL: RCT (Reactant); RACT (Reactant or reagent) (blood platelet aggregation inhibiting activity of) 137743-31-8 CAPLUS ВM CN1H-Pyrazole-1-nonanoic acid, 3,5-diphenyl-4-(phenylmethyl)- (CA INDEX

ANSWER 23 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN 1989:94258 CAPLUS ΑN DM 110:94258 OREF 110:15563a,15566a Cycloaddition of some diarylnitrilimines to various 2-arylidene-1-ΤI indanones. Regio- and diastereochemistry of spiropyrazoline synthesis Kerbal, Abdelali; Tshiamala, Kabula; Vebrel, Joel; Laude, Bernard AU CS Fac. Sci., Univ. Franche-Comte, Besancon, 25030, Fr. Bulletin des Societes Chimiques Belges (1988), 97(2), 149-61 CODEN: BSCBAG; ISSN: 0037-9646 DТ Journal LA French CASREACT 110:94258 OS GΙ

Ь4

AΒ 1,3-Dipolar cycloaddn. of the title indanones I (R1 = H, Me; R2 = H, Me, Ph; R = H, Me, OMe) with 4-R4C6H4C.tplbond.N+N-Ph (R4 = H, Me, OMe, Cl, NO2) gave spiropyrazolines II. The cycloaddn. was regio- and stereospecific. Thus, the dipole approaches from the less hindered face of the dipolarophile.

118472-50-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

118472-50-7 CAPLUS

RN1H-Pyrazole, 1,3,5-triphenyl-4-(phenylmethyl)- (CA INDEX NAME)

ANSWER 24 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN T.4

AN1982:16078 CAPLUS

DN96:16078

OREF 96:2667a,2670a

Composition containing a pyrazolium salt for retarding the growth of TIsunflower

IN Shafer, Neal E.; Bhalla, Prithvi Raj

PΑ American Cyanamid Co. , USA

Fr. Demande, 28 pp. SO

CODEN: FRXXBL DTPatent

LΑ French

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE PΙ FR 2475853 FR 1981-3167 Α1 19810821 19810218 CA 1151890 A1 19830816 CA 1981-369468 19810128 BR 8100964 Α 19810825 BR 1981-964 19810218 AU 8167409 Α 19810827 AU 1981-67409 19810218 ZA 8101086 ZA 1981-1086 19820331 19810218 Α ES 1981-499545 ES 499545 A1 19820901 19810218 HU 27555 A2 19831028 HU 1981-390 19810218 PRAI US 1980-122642 19800219 GI

$$\begin{bmatrix} R^{1} & R^{1} & R^{2} & R^{1} & R^{2} & R^{2} & R^{3} & R^{4} & R^{3} & R^{3} & R^{4} & R^{3} & R^{4} & R^{3} & R^{4} & R^{3} & R^{4} & R^$$

McIntosh

The pyrazolium salts I or II (R1 and R2 C1-3 alkyl or Ph; R4 = H, OH, C1-18 alkyl, haloalkyl, alkoxy, PhCH2, substituted Ph, etc.; R3 and R5 = C1-12 alkyl, alkoxy, cycloalkyl, halo, NH2, PhNH, EtO, naphthyloxy, heterocyclic radical, etc.; R6 = H or Me; X = acetate, sulfate, etc.; m = 1, 2, or 3) are growth inhibitors for sunflower. Thus, preplant 1,2-dimethyl-3,5-diphenylpyrazolium Me sulfate [43222-48-6] (0.25 kg/ha) decreased the height of sunflower by 69.6%.

IT 80068-90-2
RL: BIOL (Biological study) (plant growth inhibitor, for sunflower)

RN 80068-90-2 CAPLUS

1H-Pyrazolium, 1,2-dimethyl-3,5-diphenyl-4-(phenylmethyl)-, sulfate (2:1)

CM 1

CN

CRN 59876-16-3 CMF C24 H23 N2

(CA INDEX NAME)

CM 2

CRN 14808-79-8 CMF O4 S

ANSWER 25 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN L41977:89813 CAPLUS ANDN 86:89813 OREF 86:14185a,14188a TI Diphenylpyrazolium salts Cross, Barrington; Walworth, Bryant L. IN PΑ American Cyanamid Co., USA Ger. Offen., 39 pp. CODEN: GWXXBX DТ Patent

DT Patent LA German FAN.CNT 3

PATENT NO. KIND DATE APPLICATION NO. DATE ---------DE 2618421 A1 19761118 DE 1976-2618421 19760427 US 3958001 19760518 US 1975-574067 19750502 Α US 4017298 19770412 US 1975-574068 19750502 Α ZA 7602247 19770427 ZA 1976-2247 Α 19760414 PRAI US 1975-574067 19750502 US 1975-574068 19750502

GΙ

The title compds. I (R = Me, Et, Pr, Me2CH, HO2CCH2, CH2:CHCH2, HC.tplbond.CCH2, PhCH2; X = I, ClO4, MeSO4, HSO4), useful as fungicides and herbicides, are prepared by quaternization of the appropriate pyrazoles which are obtained by cyclocondensation of PhCOCHRCOPh with MeNHNH2. Thus, reaction of 1,4-dimethyl-3,5-diphenylpyrazole with Me2SO4 in PhMe at 80°, followed by 3 hr stirring at 100°, gives 72% I (R = Me, X = MeSO4). 1,2,4-Trimethyl-3,5-dicyclohexylpyrazolium methyl sulfate is prepared similarly.

IT 59876-17-4P 59876-18-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and herbicidal activity of)

RN 59876-17-4 CAPLUS

CN 1H-Pyrazolium, 1,2-dimethyl-3,5-diphenyl-4-(phenylmethyl)-, sulfate (1:1) (CA INDEX NAME)

CM 1

CRN 59876-16-3 CMF C24 H23 N2

CM 2

CRN 14996-02-2 CMF H O4 S

RN 59876-18-5 CAPLUS

CN 1H-Pyrazolium, 1,2-dimethyl-3,5-diphenyl-4-(phenylmethyl)-, perchlorate (9CI) (CA INDEX NAME)

CM 1

CRN 59876-16-3 CMF C24 H23 N2

McIntosh

CM

CRN 14797-73-0 CMF Cl 04

IT 59876-05-0P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and quaternization of)

RN 59876-05-0 CAPLUS

1H-Pyrazole, 1-methyl-3,5-diphenyl-4-(phenylmethyl)- (CA INDEX NAME) CN

ANSWER 26 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN L4

1976:560086 CAPLUS ΑN

85:160086 DN

OREF 85:25629a,25632a

4-Alkyl-1,2-dimethyl-3,5-diphenylpyrazolium salts and derivatives as fungicidal agents

Cross, Barrington; Walworth, Bryant L. ΤN

PΑ American Cyanamid Co., USA

SO

U.S., 7 pp.
CODEN: USXXAM

דת Patent

LA English

EVN CNL 3

FAM.CNI 3					
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI US 3963741	A	19760615	US 1975-619092	19751002	
US 3958001	A	19760518	US 1975-574067	19750502	
PRAI US 1975-574067	А3	19750502			
GI					

Pyrazolium salts I (R = Me, Pr, CHMe2, pentyl, CH2Ph, X = Cl04; R = Me, Et, X = MeSO4; R = CH2C.tplbond.CH, CH2Ph, allyl, X = HSO4; R = CH2CO2Et, AB X = I) were prepared by alkylating NaCHBz2, cyclizing RCHBz2 with MeNHNH2, treating the pyrazoles with Me2SO4 and optionally changing the anion. I controlled powder mildews on cucumbers, wheat, and barley at ≤ 500 ppm.

59876-17-4P 59876-18-5P ITRL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and fungicidal activity of)

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10/529,895
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RN 59876-17-4 CAPLUS
CN 1H-Pyrazolium, 1,2-dimethyl-3,5-diphenyl-4-(phenylmethyl)-, sulfate (1:1)
(CA INDEX NAME)

CM 1

CRN 59876-16-3 CMF C24 H23 N2

CM 2

CRN 14996-02-2 CMF H O4 S

RN 59876-18-5 CAPLUS
CN 1H-Pyrazolium, 1,2-dimethyl-3,5-diphenyl-4-(phenylmethyl)-, perchlorate (9CI) (CA INDEX NAME)

CM 1

CRN 59876-16-3 CMF C24 H23 N2

CM 2

CRN 14797-73-0 CMF Cl O4

1H-Pyrazole, 1-methyl-3,5-diphenyl-4-(phenylmethyl)- (CA INDEX NAME)

ANSWER 27 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN T₁4

1976:463065 CAPLUS AN

DN85:63065

OREF 85:10157a,10160a

4-Alkyl-1,2-dimethyl-3,5-diphenylpyrazolium salts and derivatives as TIfungicidal agents

INCross, Barrington; Walworth, Bryant L.

PΑ American Cyanamid Co., USA

SO

U.S., 7 pp.
CODEN: USXXAM

DTPatent

LΑ English

FAN.CNT 3

GI

11111	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 3958001	A	19760518	US 1975-574067	19750502
	US 3963741	A	19760615	US 1975-619092	19751002
	IL 49410	A	19800630	IL 1976-49410	19760413
	AU 7612987	A	19771020	AU 1976-12987	19760414
	AU 503523	B2	19790906		
	CA 1078850	A1	19800603	CA 1976-250620	19760421
	GB 1543338	A	19790404	GB 1976-16439	19760422
	FI 7601159	A	19761103	FI 1976-1159	19760427
	DE 2618421	A1	19761118	DE 1976-2618421	19760427
	BE 841231	A1	19761028	BE 1976-166527	19760428
	NL 7604597	A	19761104	NL 1976-4597	19760429
	FR 2309539	A1	19761126	FR 1976-12809	19760429
	CS 191170	B2	19790629	CS 1976-2833	19760429
	DK 7601944	A	19761103	DK 1976-1944	19760430
	SE 7605011	A	19761103	SE 1976-5011	19760430
	DD 127656	A5	19771005	DD 1976-192622	19760430
	SU 683601	A3	19790830	SU 1976-2354750	19760430
	PL 107282	B1	19800229	PL 1976-189201	19760430
	JP 51133265	A	19761118	JP 1976-50052	19760504
PRAI	US 1975-574067	A3	19750502		
	US 1975-574068	A	19750502		

PhCOCH2COPh was alkylated (NaH) to give PhCOCHRCOPh (R = n-C5H11, Me, Pr, Me2CH, CH2C.tplbond.CH, Et, CH2CO2Et, CH2CH:CH2, PhCH2), which were AB cyclized with MeNHNH2 to give the pyrazoles I. I were converted into II (X = MeSO4, ClO4, I). At 50-550 ppm II controlled rice blast, apple scab,

and powdery mildew. 59876-17-4P 59876-18-5P IT

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and fugicidal activity of)

RN 59876-17-4 CAPLUS

1H-Pyrazolium, 1,2-dimethyl-3,5-diphenyl-4-(phenylmethyl)-, sulfate (1:1) CN(CA INDEX NAME)

McIntosh

CM 1

CRN 59876-16-3 CMF C24 H23 N2

CM 2

CRN 14996-02-2 CMF H O4 S

RN 59876-18-5 CAPLUS

CN 1H-Pyrazolium, 1,2-dimethyl-3,5-diphenyl-4-(phenylmethyl)-, perchlorate (9CI) (CA INDEX NAME)

CM 1

CRN 59876-16-3 CMF C24 H23 N2

CM 2

CRN 14797-73-0 CMF Cl O4

IT 59876-05-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and methylation of)

RN 59876-05-0 CAPLUS

CN 1H-Pyrazole, 1-methyl-3,5-diphenyl-4-(phenylmethyl)- (CA INDEX NAME)

ANSWER 28 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN L4ΑN 1966:456804 CAPLUS 65:56804 OREF 65:10587a-c Derivatives of 4-(1-pyrazolyl)pyrimidine. II. The synthesis of TΙ 4-(3,5-diphenyl-4-alkylpyrazolyl)-5-phenylpyrimidines Tsatsaronis, G. S.; Mikromastoras, E.; Halivopoulos, S. ΑU CS Univ. Thessaloniki, Thessaloniki, Greece Chim. Chronika (Athens, Greece) (1966), 31(5), 57-9 SO DTJournal LA English cf. CA 61, 11992b. The title compds. (I) were prepared by treating 4-hydrazino-5-phenylpyrimidine (II) with 1,3-diphenyl-2-alkyl-1,3propanedione (III). Thus 2 g. II and 2.5 g. III (alkyl = H) were heated 20 min. at 140°, the temperature raised to 160-70° and maintained 20 min. more. The resinous product was dissolved in hot MeOH and treated with charcoal to yield 57% $I^{-}(alkyl = H)$, m. 119-20°. Similarly prepared were the following I (alkyl, m.p., and yield given): Me, 106-8°, 49%; Et, 133-4°, 58%; PhCH2, 162°, 46%. Using 4-hydrazino-5-(p-nitrophenyl) pyrimidine instead of II, the following 4-[(3,5-diphenyl-4-alkylpyrazol-1-yl)]-5-(p-nitrophenyl)pyrimidines were prepared (alkyl, m.p., and % yield given): H, 214-15°, 71; Me, 192°, 70; Et, 161-2°, 62; PhCH2, 157°, 49. $705\dot{2}^{-}31-5P,$ Pyrimidine, 4-(4-benzyl-3,5-diphenylpyrazol-1-yl)-5-(pnitrophenyl)- 7200-69-3P, Pyrimidine, 4-(4-benzyl-3,5-ΤТ diphenylpyrazol-1-yl)-5-phenyl-RL: PREP (Preparation) (preparation of) RN 7052-31-5 CAPLUS CN Pyrimidine, 4-(4-benzyl-3,5-diphenylpyrazol-1-yl)-5-(p-nitrophenyl)- (7CI, 8CI) (CA INDEX NAME)

RN 7200-69-3 CAPLUS CN Pyrimidine, 4-(4-benzyl-3,5-diphenylpyrazol-1-yl)-5-phenyl- (7CI, 8CI) (CA INDEX NAME)

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ANSWER 29 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
      1964:411116 CAPLUS
DN
      61:11116
OREF 61:1786a-h,1787a-b
      Synthetic drugs. XII. Constitution and salidiuretic effect of
      3-sulfamoyl-4-chlorobenzoic acid derivatives and related compounds
ΔΠ
      Jucker, E.; Lindenmann, A.; Schenker, E.; Flueckiger, E.; Taeschler, M.
CS
      Sandoz Ltd., Basel, Switz.
so
      Arzneimittel-Forschung (1963), 13(4), 269-80
      CODEN: ARZNAD; ISSN: 0004-4172
דת
      Journal
LΑ
      Unavailable
      For diagram(s), see printed CA Issue.
      cf. CA 59, 6350b; 60, 15830c. A large number of 3-sulfamoyl-4-chlorobenzoic acid derivs. with the basic structures I-XIII [R, R', and R'' (if
AΒ
      present), and m.p. of base or salt given] have been prepared, partially by
      known methods (CA 59, 10031a), partially by new methods, and were tested
      for their salidiuretic activity. I prepared were: H, H, HCl salt,
      224-5°; (RR' =) 3-pyridylmethylene, 190-2°; (RR' =)
      4-ClC6H4CH, 208-11°; (RR' =) PhCH, 153-4°; H,
      3-pyridylmethyl, 160-2° (decomposition); H, 4-ClC6H4CH2, 149-51°
      (decomposition); H, PhCH2, 117-18° (decomposition). II prepared were: H, H, HCl salt, 217-19° (decomposition); (RR' =) Me2C, 217-19°
      (decomposition); H, 4-ClC6H4CO, 215-17°; H, Bz, 242-4°; H,
      PhCH2CO, 151-3°. III prepared were: Me, H, EtO, 192-4°;
      4-ClC6H4, H, 4-ClC6H4, 250-1°; Ph, H, Ph, 251-3°; Ph, Et,
      Ph, 191-2°; Ph, PhCH2, Ph, 211-13°. IV prepared were: Me, H,
      230-2°; Me, Et, 188-9°; Ph, H, 218-20°; Ph,
      o-ClC6H4CH2, 115-16°. V prepared were: F, Me, 136-8°; Cl, Me,
      154-5°; Br, Me, 155-6°; Cl, Et, 155-6°; Cl,
      CH2CH2CO2H, 166-7°; Cl, Pr, 145-6°; Cl, CH2CH2CO2Me,
      112-13°. VI prepared were: CHO, 161-4° (decomposition); PhNHN:CH,
      236-9° (decomposition); CH2OH, 143-5° (decomposition);
      4,3-Cl(H2NO2S)C6H3CO2CH2, 226-9° (decomposition); MeCH(OH), 142-4°; EtCH(OH), 131-3° (decomposition); PrCH(OH), 109-110 (decomposition). VII prepared were: Cl, CH.tplbond.CCH2, 112-13°; Cl, 2-thienylmethyl, 133-4°; Cl, cyclopentyl, 163-4°; Cl,
      2-tetrahydrofurfurylmethyl, 130-1° (F analog m. 91-3°); Cl, 2-pyridylmethyl, 170-1°; Cl, 3-pyridylmethyl, 189-90°; Cl,
      4-pyridylmethyl, 184-5°; Cl, cyclohexyl, 148-9°; Cl,
      2-tetrahydropyranylmethyl, 161-3°; Cl, 4-FC6H4CH2, 157-8°; Cl, 4-O2NC6H4CH2, 157°; Cl, PhCH2, 121-2°; F, PhCH2,
      150-1°; Cl, cycloheptyl, 135-6°; Cl, PhCH2CH2, 99-100°; Cl, cyclooctyl, 150-3°; Cl, C8H17, 85°; Cl, 4-quinolylmethyl, 244-5°; Cl, C16H33, 90-1°. VIII prepared
      were: 2-furfurylmethylamino, 150-2°; 2-tetrahydrofurfurylmethylamino, 169-72°; N-methyl-N'-
      tetrahydropyrazinyl, 220-4°; 2-(6-chlorobenzothiazolyl)amino,
      333-7° (decomposition); 1-(5-methyl-1,5-diazacyclooctyl),
      187-90°; N- [N'-(2-chlorophenyl)tetrahydropyrazinyl], 204-6°
      (3-Cl analog, 192-4°; 4-Cl analog, 192-3°). IX prepared were: H, H, 214-15° (HCl, salt, 303-5°); Me, Me, 193-5°; H,
      EtCO2, 208-9°; H, Me2CH, 206-7°; H, Bz, 225-7°. X
      prepared were: Cl, 2-oxooxazolidin-3-yl, 182-3°; F, 1,4-thiazan-4-yl,
      250-2°; Cl, morpholino, 267-8°; Cl, piperidino,
      230-2°; Cl, 4-methyl-1-piperazinyl, 195-6°; Cl,
      1,2,3,4-tetrahydro-1-quinolinyl, 270-2°. XI prepared were: Me, CO2H, 228-31°; Me, CONH2, 199-201°; Me, CO2Et, 148-51°; Me,
      N-(2,6-dimethyl-1-piperidyl)carbamoyl, 306-8°; Cl, CO2H,
      225-6°; Cl, MeNHNHCO, 240°; Cl, Me2NNHCO, 172°; Cl, piperidinocarbamoyl, 214°; Cl, PhNHNHCO, 188-9°; Cl, N-azacyclooctylcarbamoyl, 190-1°. XII prepared were: NH2C(S)NH, 195-7° (decomposition); NH2CONHNH, 197-9° (decomposition); NH2CONHCO,
      231-4°; N-propylsultamyl, 180-2°; γ-butyrolactonyl,
      153-5°; 5-(5-methyl-2,4-dioxoimidazolidinyl), 278-9°;
      N-butylsultamyl, 182-4°; PhNHC(S)NH, 185-7°;
      2-oxo-5-enyl-1,3,4-oxadiazolin-3-yl), 278-9°; 2-oxo-3-phenyl-1,3,4-
      oxadiazolin-5-yl, 244-5°; BzNHNHCO, 237-9° (decomposition); PhNHN:CMe, 157-8° (decomposition); PhCH2CO2CH2, 117°. XIII
      prepared were: F, H2NCO, 198-9°; MeS, EtOSO2, 132-5°
      (decomposition); MeS, N-propylsultamyl, 193-5°; MeS, N-butylsultamyl,
      155-7°; methylsulfinyl, N-butylsultamyl, 195-7°; MeSO2,
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N-butylsultamyl, 216-18° (decomposition); Cl, PhNHNHSO2, 172-4°
     (decomposition); MeS, PhNHNHSO2, 163-5° (decomposition). Intermediate
     products prepared were: 4,3-Cl(H2N)C6H3COCH2CH2CO2H, m. 167-9°
     (decomposition); 4,3-C1(ClO2S)C6H3COCH2CH2CO2H, m. 164-8° (decomposition);
     2,4,5-Cl2(H2NO2S)C6H2COCl, m. 175-6°; 4,3-Cl(H2NO2S)C6H3SO2Cl, m.
     181-3° (decomposition). The pharmacol results obtained on rats and dogs are given in tables and in graphs. The results obtained with
     N-(cis-2,6-dimethyl-1-piperidyl)-3-sulfamoyl-4-chlorobenzoic acid amide
     (DT-327, Chlosudimeprimylium, Brinaldix) are discussed in detail.
     96277-00-8P, Benzenesulfonamide, 5-(4-benzyl-3,5-diphenylpyrazol-1-
     yl)-2-chloro-
     RL: PREP (Preparation)
         (preparation of)
     96277-00-8 CAPLUS
RN
CN
     Benzenesulfonamide, 5-(4-benzyl-3,5-diphenylpyrazol-1-yl)-2-chloro- (7CI)
     (CA INDEX NAME)
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ANSWER 30 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
T.4
     1964:45682 CAPLUS
AN
DN
     60:45682
OREF 60:8014c-e
     Chemistry of selenophene. XLVIII. Synthesis of di- and tri- substituted
TI
     pyrazoles containing the selenophene ring
     Yur'ev, Yu. K.; Magdesieva, N. N.; Titov, V. V.; Brysova, V. P.
ΑU
     M. V. Lomonosov State Univ., Moscow
CS
SO
     Zhurnal Obshchei Khimii (1963), 33(11), 3517-19
     CODEN: ZOKHA4; ISSN: 0044-460X
DТ
     Journal
     Unavailable
LΑ
GΙ
     For diagram(s), see printed CA Issue.
     cf. CA 60, 490g. Refluxing propionyl(2-selenophenecarbonyl)methane with
     N2H4.H2O in MeOH 1 hr. gave 63.5% 3-ethyl-5-(2-selenophene-yl)pyrazole
     (I), m. 108-9°. Similarly, butyroyl(2-selenophenecarbonyl)-methane
     gave 80% 3-propyl-5-(2-selenophene-yl)pyrazole, m. 90-1°, while
     methytacetyl(2-selenophenecarbonyl)methane gave 82% 3,4-dimethyl-5-(2-
     selenophene-yl)pyrazole, m. 150-1°, and ethylacetyl(2-
     selenophenecarbonyl) methane gave 54% 3-methyl-4-ethyl-5-(2-selenophene-
     yl)pyrazole, m. 72-3°. \alpha-Naphthoyl (2-
     selenophenecarbonyl) methane similarly gave 61.5% 3-\alpha-naphthyl-5-(2-
     selenophene-yl)pyrazole, m. 72-4°, while p-tolyl(2-selenophenecarbonyl)methane gave 76% 3-p-tolyl-5-(2-selenophene-yl)-
     pyrazole, m. 181-1.5°, anisoyl-(2-selenophenecarbonyl)methane gave
     66% 3-anisyl-5-(2-selenophene-yl)pyrazole, m. 159.5-61°, and
     picolinoyl-(2-selenophenecarbonyl)methane gave 76% 3-α-pyridyl-5-(2-
     selenophene-yl)pyrazole, m. 146-7°. Refluxing 1,3-dibenzoyl-1,3-
     bis(2-selenophenecarbonyl)methane with N2H4.H2O in dioxane 2.5 hrs. gave
     30.5% bis[3-phenyl-5-(2-selenophene-yl)pyrazol-4-yl]methane, m.
     122-5°.
     102346-85-0P, Pyrazole, 4,4'-methylenebis[3(or 5)-phenyl-5(or
IT
     3)-selenophene-2-yl-
     RL: PREP (Preparation)
         (preparation of)
ВИ
     102346-85-0 CAPLUS
CN
     Pyrazole, 4,4'-methylenebis[3(or 5)-phenyl-5(or 3)-selenophene-2-yl- (7CI)
       (CA INDEX NAME)
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